Access DB# 95108

SEARCH REQUEST FORM

Scientific and Technical Information Center

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Requester's Full Name: K. C SRIVASTAVA Examiner #: 77964 Date: 5/28/2003
Art Unit: 1651 Phone Number 30/605-1196 Serial Number: 10/031,929
Mail Box and Bldg/Room Location: CM1-11B01 Results Format Preferred (circle): PAPER DISK E-MAIL
RM#CM1-11A12

If mor than one search is submitted, please prioritize searches in order of need. mej

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: METHOD FOR DETECTING PATHOGENIC MICROORGANISMS AND ANTIMICROBIAL AGENT, METHOD FOR EVALUATING THE DRUG EFFECT OF AN ANTIMICROBIAL AGENT AND REAGENTS

Inventors (please provide full names): TATSUMI, YOSHIYUKI, YOKOO, MAMORU, NAKAMURA, KUSHO, ARIKA, TADA

Earliest Priority Filing Date: 07/11/2000

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

(A) Please search structures recited in claims 12 and 13.

(B) Also, patentability search for claims 12-14.
- onchomycosis
- antifungal compound or composition
- compound with structures in claims 12 & 13 and antifungal, fungistatic or fungicidal or fungicide
- Therapeutic agent and - ---
- Pharmaceutical and - - - - -
onychomycosis or ? ?

RECEIVED MAY 28 2003 TECH CENTER (STIC)

Point of Contact:
Thomas G. Larson, Ph.D.
703-308-7309
CM1, Rm. 6 B 01

****

STAFF USE ONLY

Searcher: _____
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: 6/4
Date Completed: 6/6
Searcher Prep & Review Time: 60
Clerical Prep Time: _____
Online Time: 83

Type of Search

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) 2
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

Vendors and cost where applicable

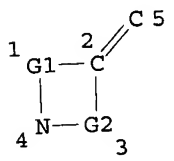
STN $384
Dialog _____
Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems _____
WWW/Internet _____
Other (specify) _____

PTO-1590 (8-01)

```
=> file registry hcaplus
FILE 'REGISTRY' ENTERED AT 16:14:58 ON 05 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE 'HCAPLUS' ENTERED AT 16:14:58 ON 05 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
```

Claim 12

```
=> d que L8
L1                  STR
```



C@5 open to any substitution.
N@4 required to have an additional bond to a non-H atom

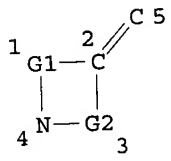
```
REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3  RC AT   4
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS   5

STEREO ATTRIBUTES: NONE
L3          3559 SEA FILE=REGISTRY SSS FUL L1
L4          1448 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3
L5         69559 SEA FILE=HCAPLUS ABB=ON  PLU=ON  FUNGICIDES+NT,PFT/CT
L7           506 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L4 (L) (BAC OR COS OR DMA OR
                 PAC OR PKT OR THU)/RL
L8            14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7 AND L5
```

CT → use controlled index term search field.

+NT → include narrower index terms.

PFT → include both preferred and forbidden (obsolete) index terms.

```
=> d que L10
L1                  STR
```



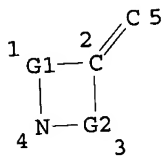
same structure as above

```
REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3  RC AT   4
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
```

limiting roles:

- BAC → Biological Activity
- COS → Cosmetic Use
- DMA → Drug Mechanism of Action
- PAC → Pharmacological activity
- PKT → Pharmacokinetics
- THU → Therapeutic Use.

```
NUMBER OF NODES IS   5

STEREO ATTRIBUTES: NONE
L3          3559 SEA FILE=REGISTRY SSS FUL L1
L4          1448 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3
L9          1588 SEA FILE=HCAPLUS ABB=ON  PLU=ON  MYCOSIS+NT,PFT/CT
L10            4 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L4 AND L9


=> d que L12
L1                STR
```

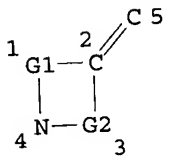



```
REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3  RC AT   4
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS   5

STEREO ATTRIBUTES: NONE
L3          3559 SEA FILE=REGISTRY SSS FUL L1
L4          1448 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3
L11          180 SEA FILE=HCAPLUS ABB=ON  PLU=ON  "NAIL (ANATOMICAL) (L)
                 ONYCHOMYCOSIS"+NT,PFT/CT
L12            1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND L4


=> S L8 OR L12 OR L21
L24           14 L8 OR L12 OR L21
```

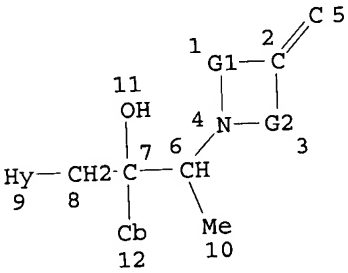
< combine answer sets.

data 13

```
=> d que l17
L1                STR
```



```
REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3  RC AT   4
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS   5

STEREO ATTRIBUTES: NONE
L3          3559 SEA FILE=REGISTRY SSS FUL L1
L5         69559 SEA FILE=HCAPLUS ABB=ON  PLU=ON  FUNGICIDES+NT,PFT/CT
L13               STR
```



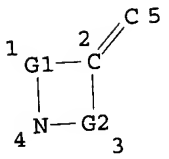
cb @ 12 — generic carbocyclic ring — limited to 6 carbons monocyclic & unsaturated

Hy @ 9 — generic heterocyclic ring — limited to having 2-3 C and 2-3 N, to being monocyclic & unsaturated.

```
REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3  RC AT   4
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT   9
GGCAT   IS MCY  UNS  AT  12
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M2-X3 C  M2-X3 N  AT   9
ECOUNT  IS E6 C  AT  12

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  12

STEREO ATTRIBUTES: NONE
L15           18 SEA FILE=REGISTRY SUB=L3 SSS FUL L13
L16            8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L15
L17            7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L16 AND L5
```

Search answer set L3 with structure L13.

```
=> d que l19
L1                STR
```

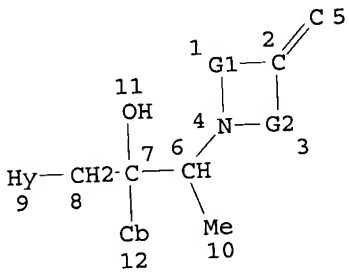


REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3 RC AT 4
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 5

STEREO ATTRIBUTES: NONE
L3 3559 SEA FILE=REGISTRY SSS FUL L1
L11 180 SEA FILE=HCAPLUS ABB=ON PLU=ON "NAIL (ANATOMICAL) (L)
ONYCHOMYCOSIS"+NT,PFT/CT

L13 STR

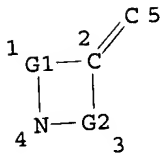


REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 9
GGCAT IS MCY UNS AT 12
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M2-X3 C M2-X3 N AT 9
ECOUNT IS E6 C AT 12

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L15 18 SEA FILE=REGISTRY SUB=L3 SSS FUL L13
L16 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L15
L19 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L16 AND L11

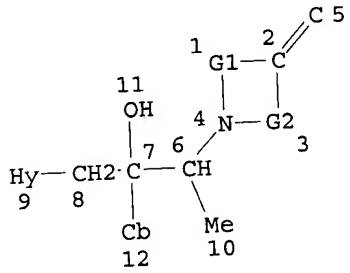
=> d que l19
L1 STR



REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3 RC AT 4
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 5

STEREO ATTRIBUTES: NONE
L3 3559 SEA FILE=REGISTRY SSS FUL L1
L11 180 SEA FILE=HCAPLUS ABB=ON PLU=ON "NAIL (ANATOMICAL) (L)
ONYCHOMYCOSIS"+NT,PFT/CT
L13 STR



REP G1=(2-3) CH2
REP G2=(1-2) CH2
NODE ATTRIBUTES:
CONNECT IS E3 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 9
GGCAT IS MCY UNS AT 12
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M2-X3 C M2-X3 N AT 9
ECOUNT IS E6 C AT 12

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
L15 18 SEA FILE=REGISTRY SUB=L3 SSS FUL L13
L16 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L15
L19 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L16 AND L11

=> s l17 or l18 or l19
L25 7 L17 OR L18 OR L19

combine answer sets.

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remove answers appearing in both searches and display only answers unique to claim 12 search.

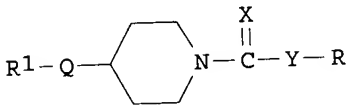
=> s l24 not l25
L26 7 L24 NOT L25

=> D IBIB ABS HITSTR L26 1-7

L26 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:39604 HCAPLUS
DOCUMENT NUMBER: 136:102293
TITLE: Urethanes derived from azacycloalkanes, thio and dithio analogues, production and use thereof as 2,3-epoxysqualene lanosterol cyclase inhibitors
INVENTOR(S): Maier, Roland; Hurnaus, Rudolf; Mark, Michael; Eisele, Bernhard; Mueller, Peter; Schilcher, Gebhard; Adelgoss, Gebhard
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6339096	B1	20020115	US 1999-275317	19990324
PRIORITY APPLN. INFO.:			US 1998-73027P P	19980129

OTHER SOURCE(S): MARPAT 136:102293
GI

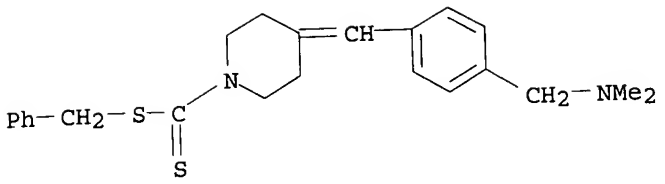


I

AB Approx. 20 piperidine hydrochlorides [I, R = benzyl, Ph, p-tolyl, p-ClC6H4, p-FC6H4; R1 = p-Me2NC6H4, 4-piperidinomethylphenyl; X, Y = O, S; Q = S, CO, CH2, SO] were prepd. by std. methods and were tested as anticholesteremics and fungicides. E.g., the MIC for I (R = benzyl, R1 = p-Me2NC6H4, X = Y = Q = S) against Trichophyton mentagrophytes was 1 .mu.g/mL.

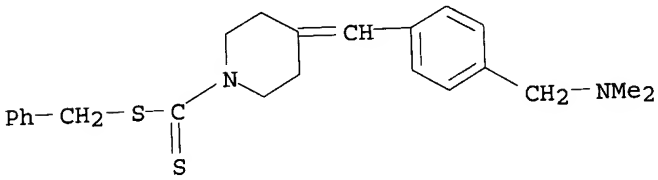
IT **227100-35-8P 227100-75-6P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmacol. activity of aminomethylphenylpiperidino carbamates)

RN 227100-35-8 HCAPLUS
CN 1-Piperidinecarbodithioic acid, 4-[[4-[(dimethylamino)methyl]phenyl]methylene]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 227100-75-6 HCAPLUS
CN 1-Piperidinecarbodithioic acid, 4-[[4-[(dimethylamino)methyl]phenyl]methyl ene]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:900388 HCAPLUS
DOCUMENT NUMBER: 134:51371
TITLE: Methods related to steroid metabolism of parasites and mycobacteria, and treatment of parasite and mycobacterial infections with an oxidosqualene cyclase inhibitor
INVENTOR(S): Prestwich, Glenn D.; Buckner, Frederick S.; Hinshaw, Jerald C.
PATENT ASSIGNEE(S): University of Utah Research Foundation, USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076316	A1	20001221	WO 2000-US16709	20000616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

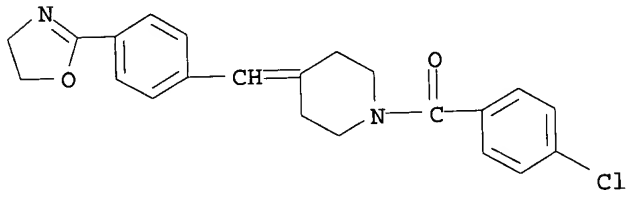
PRIORITY APPLN. INFO.: US 1999-139418P P 19990616
US 1999-140071P P 19990621

US 1999-140578P P 19990623

AB The invention relates to the field of microorganism metab. In one aspect, the invention relates to parasite and mycobacterial steroid compd. biosynthesis, including methods to inhibit the steroid compd. biosynthesis. The present invention therefore relates broadly to microbiol., pharmaceutical chem., and disease treatments. Methods are provided using an oxidosqualene cyclase inhibitor for the treatment of a parasite infection or mycobacterial infection. Compd. prepn. is described.

IT **156635-05-1**, BIBB 515
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(steroid metab. of parasites and mycobacteria, and treatment of parasite and mycobacterial infections with oxidosqualene cyclase inhibitor)

RN 156635-05-1 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:698077 HCAPLUS
DOCUMENT NUMBER: 131:327524
TITLE: Pyridylmethylphenyl derivatives as fungicides and pharmaceutical compositions containing the fungicides
INVENTOR(S): Takagi, Masae; Seibu, Tadayuki; Sano, Shinsuke
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11302172	A2	19991102	JP 1998-120018	19980414
PRIORITY APPLN. INFO.:			JP 1998-120018	19980414

OTHER SOURCE(S): MARPAT 131:327524
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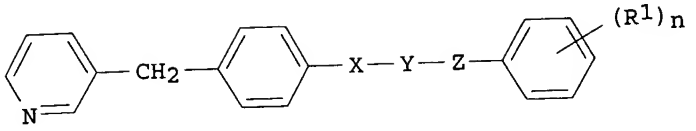
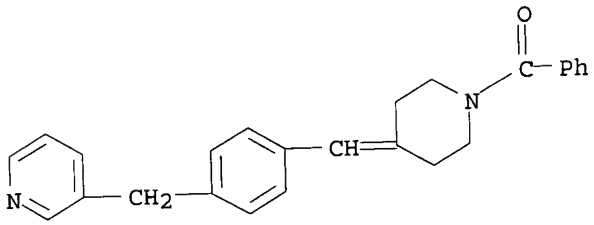


I

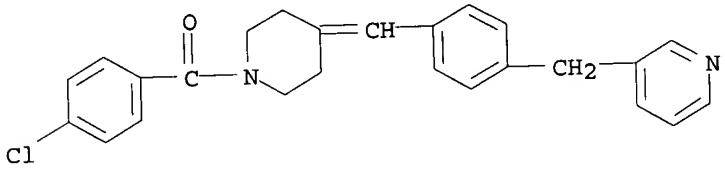
AB Pyridylmethylphenyl derivs. (I) [X = O, C(:O), etc.; Y = 4-piperidylalkylene, 1-piperazinylalkylene, etc.; Z = O, SO2, etc.; R1 = halo, C1-6 alkyl, C1-6 alkoxy; n = 0-3] as fungicides and pharmaceutical compns. contg. the fungicides are claimed. Tablets were formulated contg. I 50, lactose 29, corn starch 10, sodium gluconate 5, PVP 3, talc 2, and magnesium stearate 1 parts. I also can be used as agrochems.

IT **248244-79-3 248244-80-6 248244-81-7**
248244-89-5 248244-90-8 248245-00-3
248245-01-4 248245-02-5 248245-10-5
248245-11-6
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pyridylmethylphenyl derivs. as fungicides and pharmaceutical compns. contg. the fungicides)

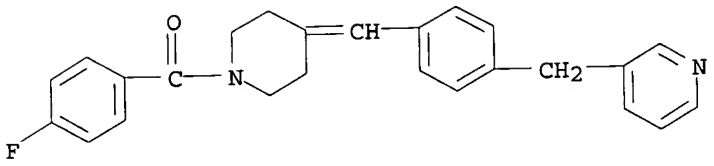
RN 248244-79-3 HCAPLUS
CN Piperidine, 1-benzoyl-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



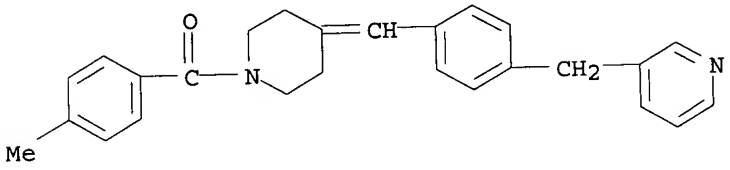
RN 248244-80-6 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



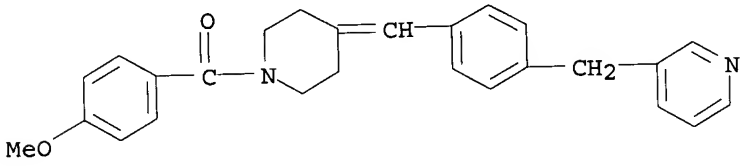
RN 248244-81-7 HCAPLUS
CN Piperidine, 1-(4-fluorobenzoyl)-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



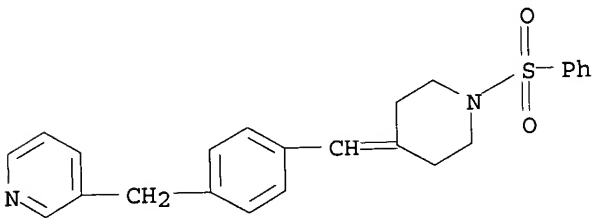
RN 248244-89-5 HCAPLUS
CN Piperidine, 1-(4-methylbenzoyl)-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



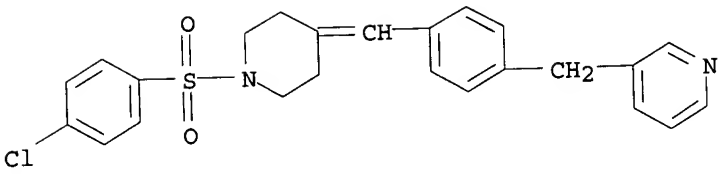
RN 248244-90-8 HCAPLUS
CN Piperidine, 1-(4-methoxybenzoyl)-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



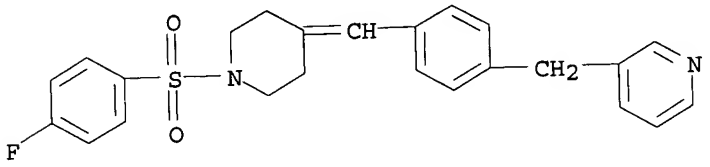
RN 248245-00-3 HCAPLUS
CN Piperidine, 1-(phenylsulfonyl)-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



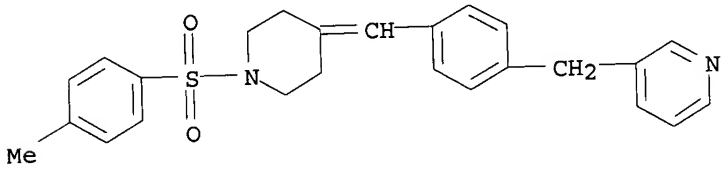
RN 248245-01-4 HCAPLUS
CN Piperidine, 1-[(4-chlorophenyl)sulfonyl]-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



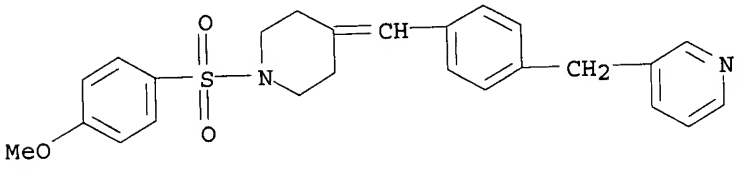
RN 248245-02-5 HCAPLUS
CN Piperidine, 1-[(4-fluorophenyl)sulfonyl]-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



RN 248245-10-5 HCAPLUS
CN Piperidine, 1-[(4-methylphenyl)sulfonyl]-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



RN 248245-11-6 HCAPLUS
CN Piperidine, 1-[(4-methoxyphenyl)sulfonyl]-4-[[4-(3-pyridinylmethyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



L26 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:659363 HCAPLUS
DOCUMENT NUMBER: 131:271485
TITLE: Preparation of biocidal benzylbiphenyl derivatives
INVENTOR(S): Meerpoel, Lieven; Van Der Flaas, Mark Arthur Josepha; Van Der Veken, Louis Jozef Elisabeth; Heeres, Jan
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

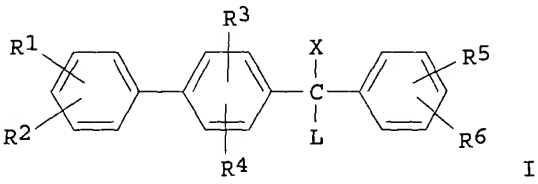
Searched by Thom Larson, STIC, 308-7309

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

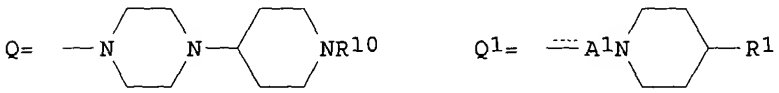
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951578	A1	19991014	WO 1999-EP2098	19990325
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2326159	AA	19991014	CA 1999-2326159	19990325
AU 9933325	A1	19991025	AU 1999-33325	19990325
BR 9909344	A	20001212	BR 1999-9344	19990325
EP 1066259	A1	20010110	EP 1999-914550	19990325
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002510677	T2	20020409	JP 2000-542299	19990325
US 6440440	B1	20020827	US 2000-647015	20000922
NO 2000004905	A	20000929	NO 2000-4905	20000929

PRIORITY APPLN. INFO.: EP 1998-201043 A 19980402
WO 1999-EP2098 W 19990325

OTHER SOURCE(S): MARPAT 131:271485
GI



I



AB The title compds. I [dotted line is an optional bond; X is a direct bond when the dotted line represents a bond, or X is hydrogen or hydroxy, when the dotted line does not represent a bond; R1, R2, R5 and R6 are each independently selected from hydrogen, halo, hydroxy, C1-4alkyl, C1-4alkyloxy, -SO3H, etc.; R3 and R4 are each independently selected from hydrogen, halo, hydroxy, C1-4alkyl, C1-4alkyloxy, nitro, amino, cyano, trifluoromethyl, or trifluoromethoxy; L is a radical of formula Q, Q1, etc.], biocides, were prepd. E.g., 4-[[(1,1'-biphenyl)-4-yl](4-fluorophenyl)methylene](1,1'-bipiperidine) dihydrochloride was prepd. Biocidal activities of I were tested toward bacteria, e.g. E. coli, and yeast.

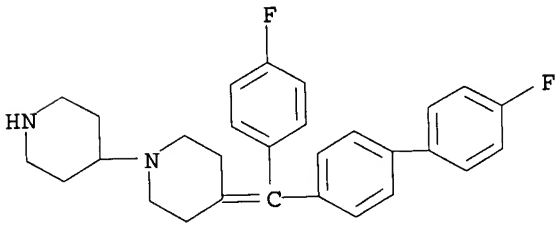
IT **245551-52-4P 245551-53-5P 245551-54-6P**
245551-55-7P 245551-56-8P
RL: **BAC (Biological activity or effector, except adverse)**; BSU

(Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biocidal benzylbiphenyl derivs.)

RN 245551-52-4 HCAPLUS
CN 1,4'-Bipiperidine, 4-[(4'-fluoro[1,1'-biphenyl]-4-yl)(4-fluorophenyl)methylene]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

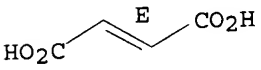
CRN 245551-51-3
CMF C29 H30 F2 N2



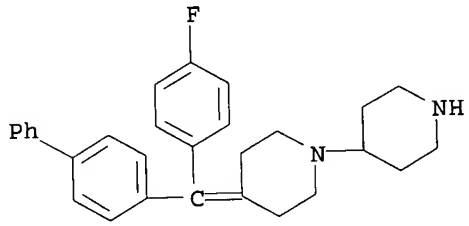
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

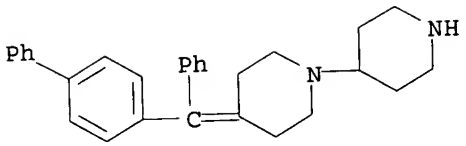


RN 245551-53-5 HCAPLUS
CN 1,4'-Bipiperidine, 4-[[1,1'-biphenyl]-4-yl(4-fluorophenyl)methylene]-, dihydrochloride (9CI) (CA INDEX NAME)



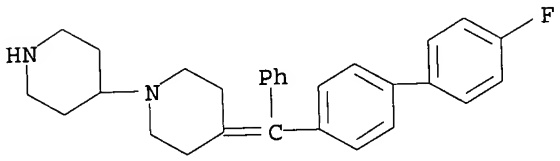
●2 HCl

RN 245551-54-6 HCAPLUS
CN 1,4'-Bipiperidine, 4-([1,1'-biphenyl]-4-ylphenylmethylene)-, dihydrochloride (9CI) (CA INDEX NAME)

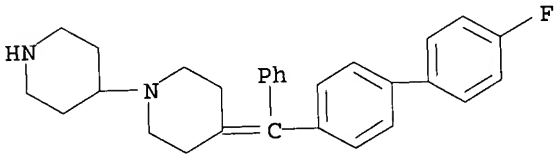


● 2 HCl

RN 245551-55-7 HCAPLUS
CN 1,4'-Bipiperidine, 4-[(4'-fluoro[1,1'-biphenyl]-4-yl)phenylmethylene]- (9CI) (CA INDEX NAME)



RN 245551-56-8 HCAPLUS
CN 1,4'-Bipiperidine, 4-[(4'-fluoro[1,1'-biphenyl]-4-yl)phenylmethylene]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1999:388168 HCAPLUS
DOCUMENT NUMBER:	131:44741
TITLE:	Urethanes derived from azacycloalkanes, thio and dithio analogues, production and use thereof as 2,3-epoxysqualene lanosterol cyclase inhibitors
INVENTOR(S):	Maier, Roland; Muller, Peter; Schilcher, Gebhard; Adelgoss, Gebhard; Hurnaus, Rudolf; Mark, Michael; Eisele, Bernhard
PATENT ASSIGNEE(S):	Boehringer Ingelheim Pharma KG, Germany
SOURCE:	PCT Int. Appl., 63 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

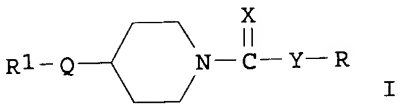
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929669	A1	19990617	WO 1998-EP7965	19981208
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19754796	A1	19990617	DE 1997-19754796	19971210
CA 2309388	AA	19990617	CA 1998-2309388	19981208
AU 9917594	A1	19990628	AU 1999-17594	19981208
BR 9813495	A	20001010	BR 1998-13495	19981208
EP 1060162	A1	20001220	EP 1998-962423	19981208
EP 1060162	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200000342	A	20010815	EE 2000-20000034	19981208
JP 2001525397	T2	20011211	JP 2000-524266	19981208
AT 234816	E	20030415	AT 1998-962423	19981208
ZA 9811262	A	20000609	ZA 1998-11262	19981209
MX 200004622	A	20001110	MX 2000-4622	20000512
BG 104500	A	20010330	BG 2000-104500	20000602
NO 2000002967	A	20000809	NO 2000-2967	20000609

PRIORITY APPLN. INFO.: DE 1997-19754796 A 19971210
WO 1998-EP7965 W 19981208

OTHER SOURCE(S): MARPAT 131:44741

GI



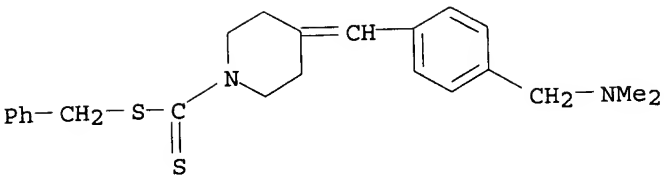
I

AB Approx. 20 piperidine hydrochlorides [I, R = benzyl, Ph, p-tolyl, p-ClC6H4, p-FC6H4; R1 = p-Me2NC6H4, 4-piperidinomethylphenyl; X, Y = O, S; Q = S, CO, CH2, SO] were prepd. by std. methods and were tested as anticholesteremics and fungicides. E.g., the MIC for I (R = benzyl, R1 = p-Me2NC6H4, X = Y = Q = S) against Trichophyton mentagrophytes was 1 .mu.g/mL.

IT **227100-35-8P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and pharmacol. activity of aminomethylphenylpiperidino carbamates)

RN 227100-35-8 HCAPLUS

CN 1-Piperidinecarbodithioic acid, 4-[[4-[(dimethylamino)methyl]phenyl]methylene]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



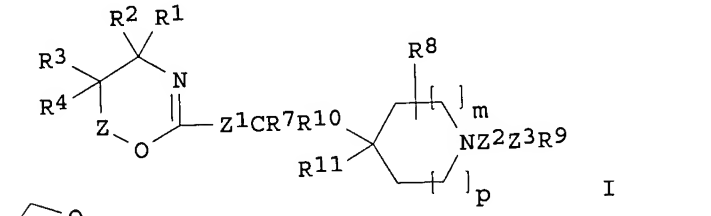
● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

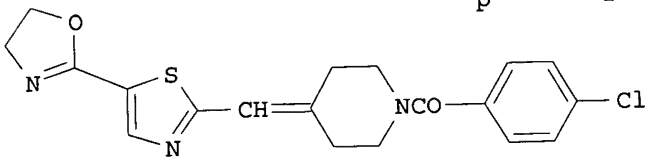
L26 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:996909 HCAPLUS
DOCUMENT NUMBER: 124:146145
TITLE: Preparation of 4-(heteroarylmethylidene)piperidines and analogs as 2,3-epoxysqualene-lanosterol cyclase inhibitors
INVENTOR(S): Maier, Roland; Mueller, Peter; Woitun, Eberhard; Hurnaus, Rudolf; Mark, Michael; Eisele, Bernhard; Budzinski, Ralph-Michael; Hallermayer, Gerhard
PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4412691	A1	19951019	DE 1994-4412691	19940413
PRIORITY APPLN. INFO.:			DE 1994-4412691	19940413

OTHER SOURCE(S): MARPAT 124:146145
GI



I



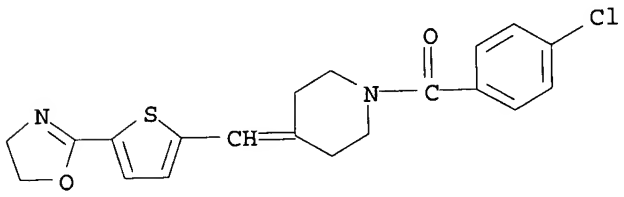
II

AB Title compds. [I; Z = bond, CR5R6; R1-R6 = H, alkyl, alkoxycarbonyl, etc.; R7,R8 = H, alkyl; R9 = H, (cyclo)alkyl, alkoxy, Ph, etc.; R10,R11 = H; R10R11 = bond; Z1 = (un)substituted heterocyclylene; Z2 = CO, SO2; Z3 =

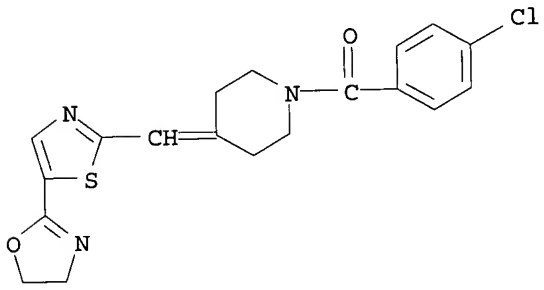
bond, alk(en)ylene, alkynylene; m = 1 or 2; p = 0 or 1] were prepd. Thus, Et 2-(diethylphosphonomethyl)thiazole-5-carboxylate was condensed with 1-(4-chlorobenzoyl)-4-piperidione (prepn. each given) and the ethanolamine-amidated product cyclized to give title compd. II which 39% inhibition of 14C-acetate incorporation into digitonin-precipitatable steroids in human hepatoma cells (HEP-G2) at 10-8M.

IT **173089-04-8P 173089-05-9P 173089-06-0P**
173089-07-1P 173089-08-2P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-(heteroarylmethylidene)piperidines and analogs as 2,3-epoxysqualene-lanosterol cyclase inhibitors)

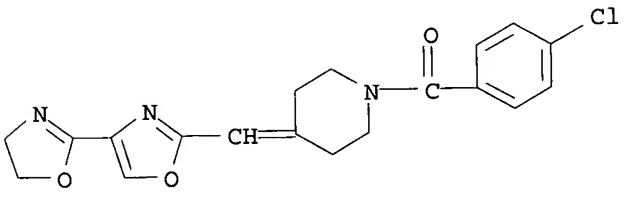
RN 173089-04-8 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[5-(4,5-dihydro-2-oxazolyl)-2-thienyl]methylene]- (9CI) (CA INDEX NAME)



RN 173089-05-9 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[5-(4,5-dihydro-2-oxazolyl)-2-thiazolyl]methylene]- (9CI) (CA INDEX NAME)

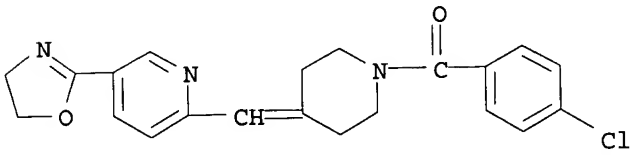


RN 173089-06-0 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[(4,5-dihydro[2,4'-bioxazol]-2'-yl)methylene]- (9CI) (CA INDEX NAME)

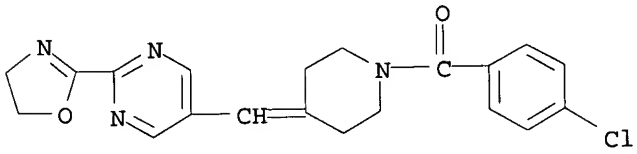


RN 173089-07-1 HCAPLUS

CN Piperidine, 1-(4-chlorobenzoyl)-4-[[5-(4,5-dihydro-2-oxazolyl)-2-pyridinyl]methylene]- (9CI) (CA INDEX NAME)



RN 173089-08-2 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[2-(4,5-dihydro-2-oxazolyl)-5-pyrimidinyl]methylene]- (9CI) (CA INDEX NAME)



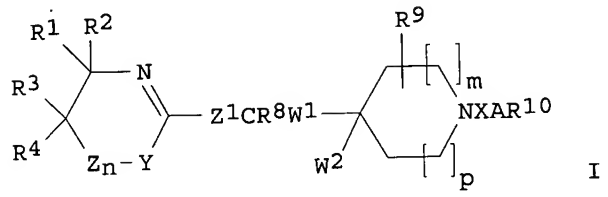
L26 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:991054 HCAPLUS
DOCUMENT NUMBER: 124:175844
TITLE: Preparation of 1-acyl-4-[(heterocyclylphenyl)alkyl(idene)]piperidines as 2,3-epoxysqualene-lanosterol cyclase inhibitors
INVENTOR(S): Maier, Roland; Mueller, Peter; Woitun, Eberhard; Hurnaus, Rudolf; Mark, Michael; Eisele, Bernhard; Budzinski, Ralph Michael; Hallermayer, Gerhard
PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
SOURCE: U.S., 21 pp. Cont.-in-part of U.S. Ser. No. 139,255, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5466687	A	19951114	US 1994-218092	19940325
DE 4235590	A1	19940428	DE 1992-4235590	19921022
DE 4303840	A1	19940811	DE 1993-4303840	19930210
EP 757669	A1	19970212	EP 1994-915531	19940425
EP 757669	B1	19981118		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9408573	A	19970826	BR 1994-8573	19940425
JP 09512255	T2	19971209	JP 1994-527294	19940425
AT 173460	E	19981215	AT 1994-915531	19940425
ES 2126759	T3	19990401	ES 1994-915531	19940425
RU 2130921	C1	19990527	RU 1996-122487	19940425
PL 179890	B1	20001130	PL 1994-316964	19940425
SK 281213	B6	20010118	SK 1996-1359	19940425
BG 62961	B1	20001229	BG 1996-100912	19961016

FI 9604277	A	19961024	FI 1996-4277		19961024
NO 9604525	A	19961024	NO 1996-4525		19961024
US 5726205	A	19980310	US 1997-718364		19970117
PRIORITY APPLN. INFO.:			DE 1992-4235590	A	19921022
			DE 1993-4303840	A	19930210
			US 1993-139255	B2	19931020
			BR 1994-8573	A	19940425
			WO 1994-EP1276	W	19940425

OTHER SOURCE(S): MARPAT 124:175844

GI



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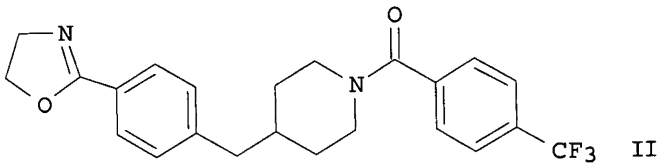

II

AB Title compds. [I; A = bons, alk(en)ylene, alkynylene; Z = CR5R6; R1-R6 = H, alkyl, alkoxycarbonyl, etc.; R8,R9 = H, alkyl; R10 = H, (cyclo)alkyl, (un)substituted Ph, etc.; W1,W2 = H; W1W2 = bond; X = CO, SO2; Y = O, S, (alkyl)imino; Z1 = (un)substituted phenylene; m = 1 or 2; n,p = 0 or 1] were prepd. Thus, 4-(NC)C6H4CH2Br was converted in 3 steps to di-Et 4-(2-oxazolin-2-yl)benzylphosphonate which was condensed with 1-trityl-4-piperidone and the deprotected product acylated with 4-(F3C)C6H4COCl to give, after hydrogenation, title compd. II. The latter increased rat 2,3-epoxysqualene plasma levels from nondetectable (control) to 9.15.mu.g/mL at 1mg/kg intragastrically.

IT **156635-05-1P 156635-06-2P 156635-07-3P**
156635-08-4P 156635-09-5P 156635-10-8P
156635-11-9P 156635-12-0P 156635-13-1P
156635-14-2P 156635-15-3P 156635-16-4P
156635-17-5P 156635-18-6P 156635-19-7P
156635-20-0P 156635-21-1P 156635-22-2P
156635-23-3P 156635-24-4P 156635-25-5P
156635-26-6P 156635-28-8P 156635-29-9P
156635-30-2P 156635-31-3P 156635-32-4P
156635-33-5P 156635-36-8P 156635-37-9P
156635-38-0P 156635-39-1P 156635-40-4P
156635-41-5P 156635-42-6P 156635-43-7P
156635-44-8P 156635-45-9P 156635-46-0P
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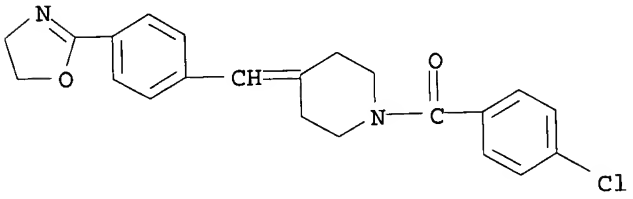
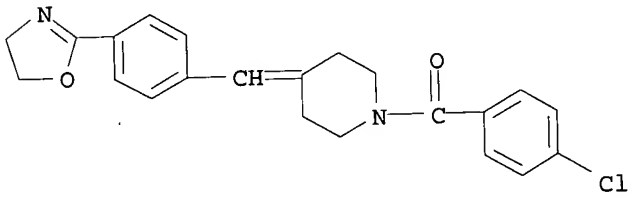
161310-86-7P 161310-87-8P 161310-88-9P

161310-89-0P 161310-90-3P 161310-91-4P
161310-92-5P 161311-08-6P 161311-09-7P
161311-10-0P 161311-11-1P 173840-10-3P
173840-11-4P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acyl-4-[(heterocyclylphenyl)alkyl(idene)]piperidines as 2,3-epoxysqualene-lanosterol cyclase inhibitors)

RN 156635-05-1 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)

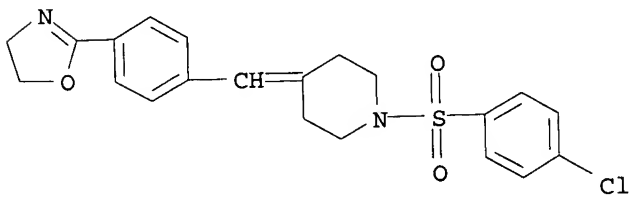


RN 156635-06-2 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-, monohydrochloride (9CI) (CA INDEX NAME)



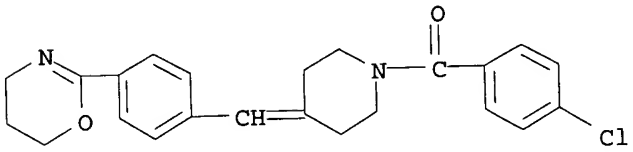
● HCl

RN 156635-07-3 HCAPLUS
CN Piperidine, 1-[(4-chlorophenyl)sulfonyl]-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)

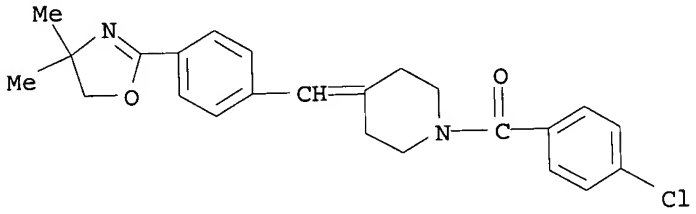


RN 156635-08-4 HCAPLUS

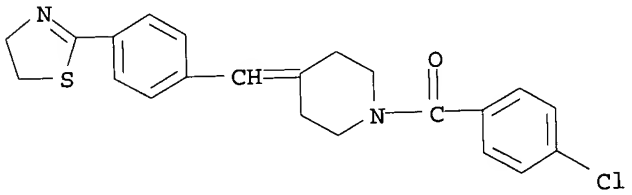
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(5,6-dihydro-4H-1,3-oxazin-2-yl)phenyl]methylene]- (9CI) (CA INDEX NAME)



RN 156635-09-5 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)

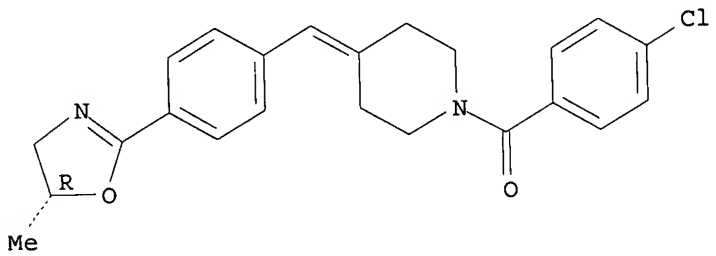


RN 156635-10-8 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-thiazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



RN 156635-11-9 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-5-methyl-2-oxazolyl)phenyl]methylene]-, (R)- (9CI) (CA INDEX NAME)

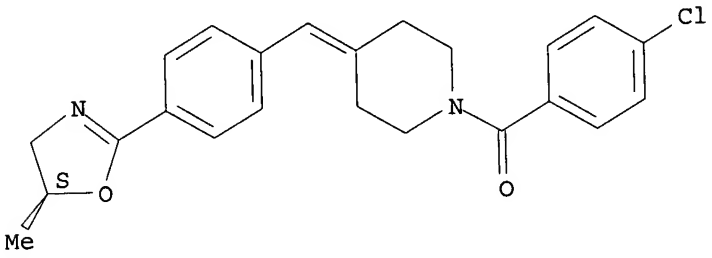
Absolute stereochemistry.



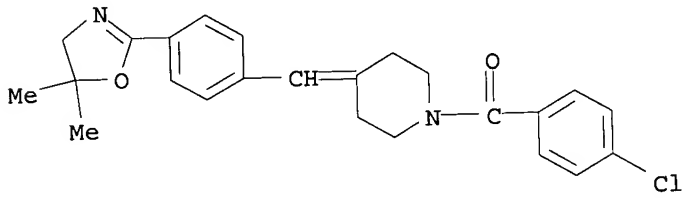
RN 156635-12-0 HCAPLUS

CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-5-methyl-2-oxazolyl)phenyl]methylene]-, (S)- (9CI) (CA INDEX NAME)

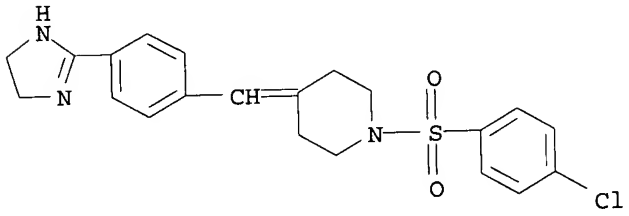
Absolute stereochemistry.



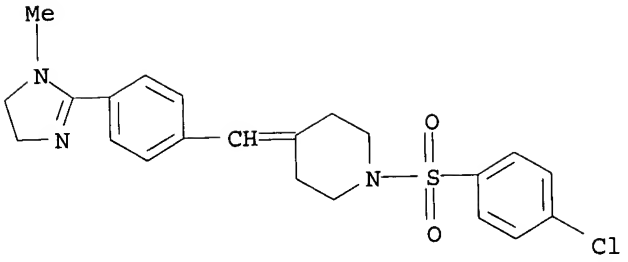
RN 156635-13-1 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-5,5-dimethyl-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



RN 156635-14-2 HCAPLUS
CN Piperidine, 1-[(4-chlorophenyl)sulfonyl]-4-[[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]methylene]- (9CI) (CA INDEX NAME)

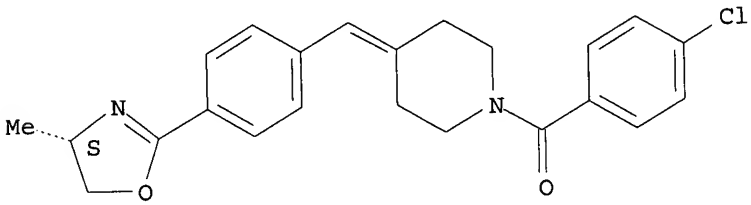


RN 156635-15-3 HCAPLUS
CN Piperidine, 1-[(4-chlorophenyl)sulfonyl]-4-[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methylene]- (9CI) (CA INDEX NAME)



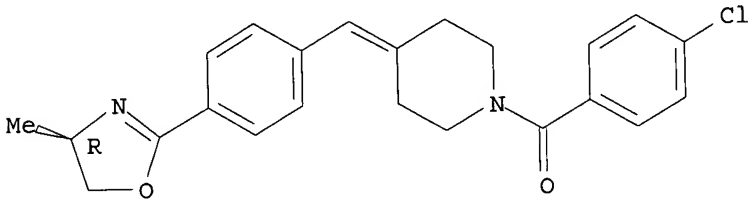
RN 156635-16-4 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-4-methyl-2-oxazolyl)phenyl]methylene]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

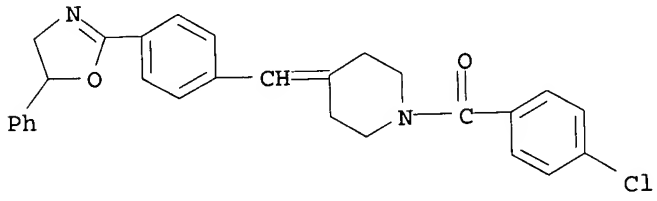


RN 156635-17-5 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-4-methyl-2-oxazolyl)phenyl]methylene]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

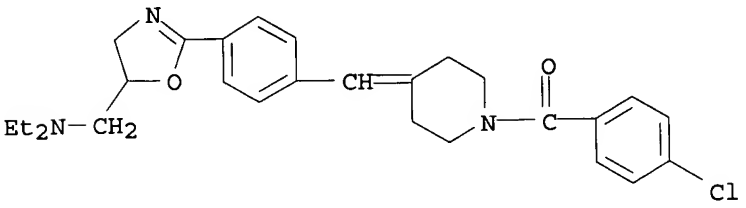


RN 156635-18-6 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-5-phenyl-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)

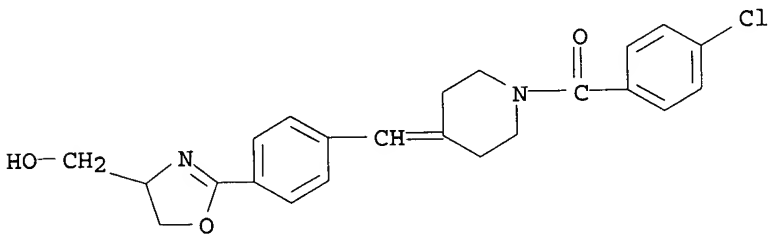


RN 156635-19-7 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-[5-[(diethylamino)methyl]-4,5-

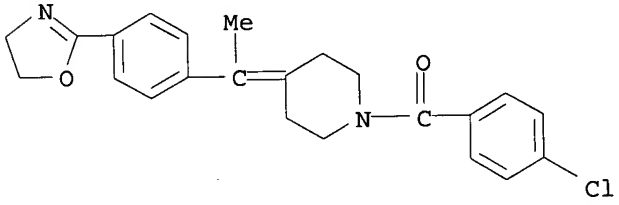
dihydro-2-oxazolyl]phenyl]methylene]- (9CI) (CA INDEX NAME)



RN 156635-20-0 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-[4,5-dihydro-4-(hydroxymethyl)-2-oxazolyl]phenyl]methylene]- (9CI) (CA INDEX NAME)

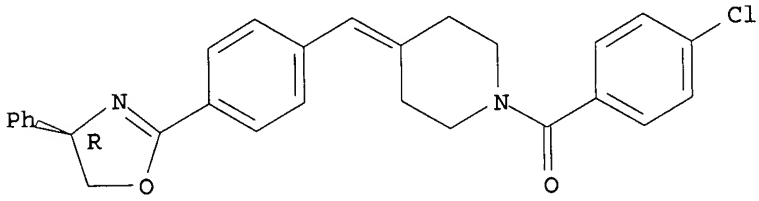


RN 156635-21-1 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[1-[4-(4,5-dihydro-2-oxazolyl)phenyl]ethylidene]- (9CI) (CA INDEX NAME)



RN 156635-22-2 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-4-phenyl-2-oxazolyl)phenyl]methylene]-, (R)- (9CI) (CA INDEX NAME)

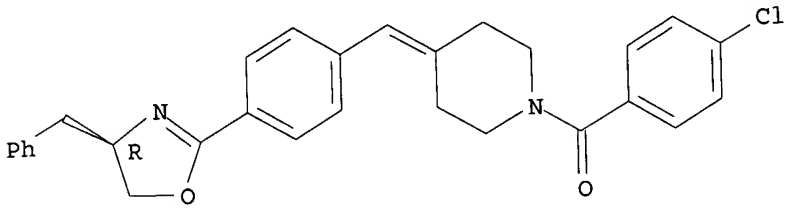
Absolute stereochemistry.



RN 156635-23-3 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-[4,5-dihydro-4-(phenylmethyl)-2-

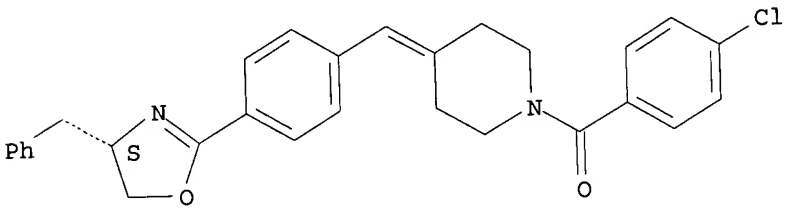
oxazolyl]phenyl]methylene]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

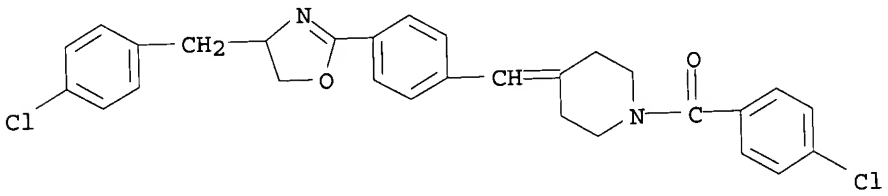


RN 156635-24-4 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-[4,5-dihydro-4-(phenylmethyl)-2-oxazolyl]phenyl]methylene]-, (S)- (9CI) (CA INDEX NAME)

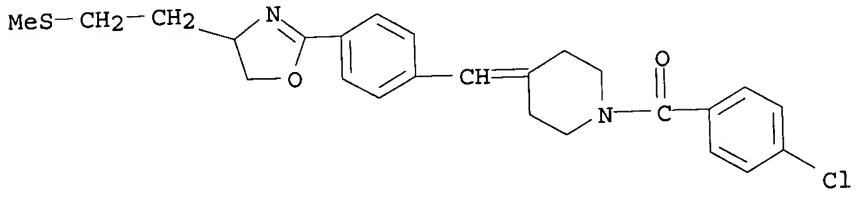
Absolute stereochemistry.



RN 156635-25-5 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-[4-[(4-chlorophenyl)methyl]-4,5-dihydro-2-oxazolyl]phenyl]methylene]- (9CI) (CA INDEX NAME)

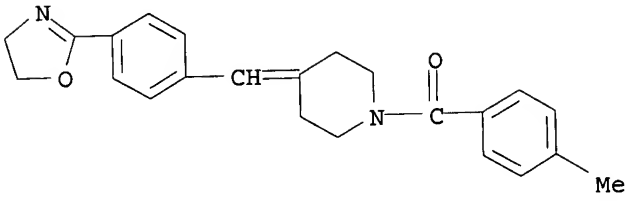


RN 156635-26-6 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-[4,5-dihydro-4-[2-(methylthio)ethyl]-2-oxazolyl]phenyl]methylene]- (9CI) (CA INDEX NAME)

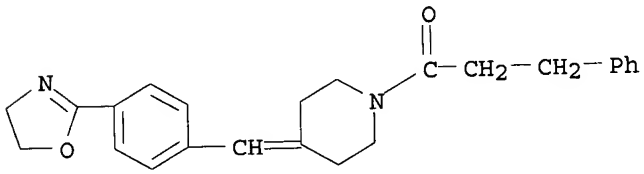


RN 156635-28-8 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(4-

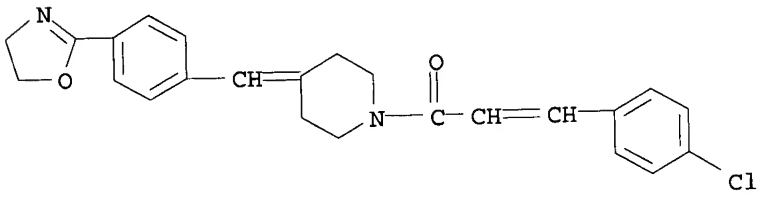
methylbenzoyl)- (9CI) (CA INDEX NAME)



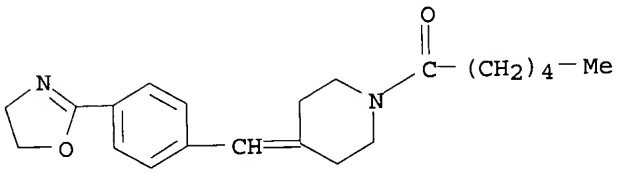
RN 156635-29-9 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



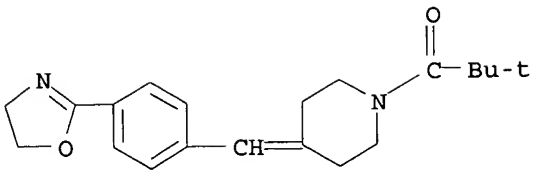
RN 156635-30-2 HCAPLUS
CN Piperidine, 1-[3-(4-chlorophenyl)-1-oxo-2-propenyl]-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



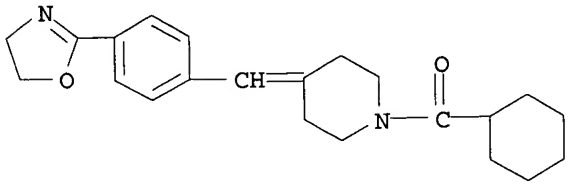
RN 156635-31-3 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(1-oxohexyl)- (9CI) (CA INDEX NAME)



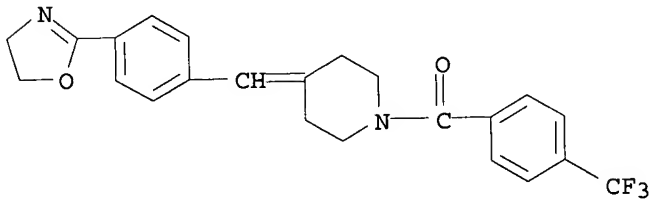
RN 156635-32-4 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)



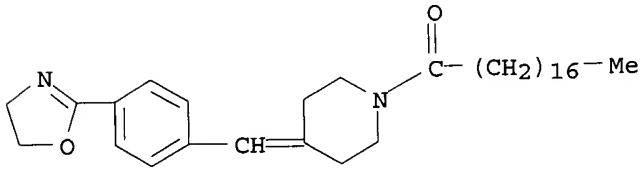
RN 156635-33-5 HCAPLUS
CN Piperidine, 1-(cyclohexylcarbonyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



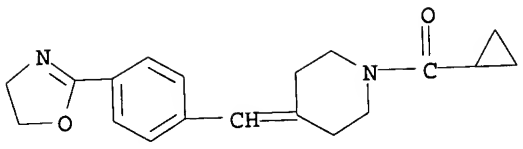
RN 156635-36-8 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-[4-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



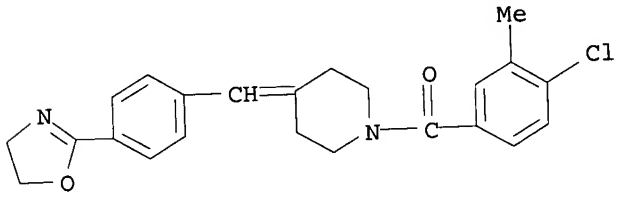
RN 156635-37-9 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(1-oxooctadecyl)- (9CI) (CA INDEX NAME)



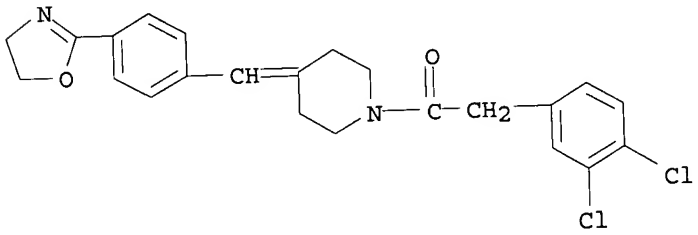
RN 156635-38-0 HCAPLUS
CN Piperidine, 1-(cyclopropylcarbonyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



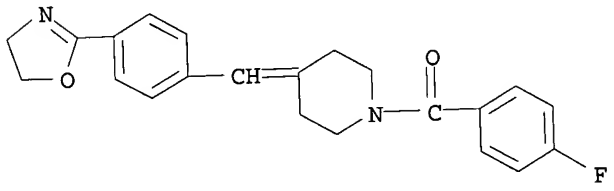
RN 156635-39-1 HCAPLUS
CN Piperidine, 1-(4-chloro-3-methylbenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



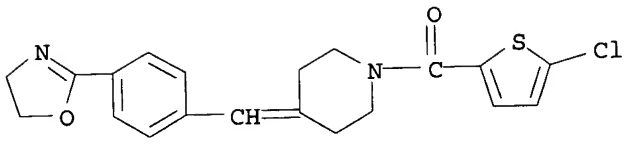
RN 156635-40-4 HCAPLUS
CN Piperidine, 1-[(3,4-dichlorophenyl)acetyl]-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



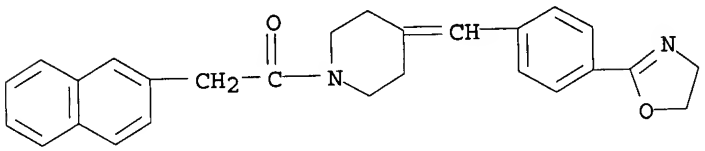
RN 156635-41-5 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(4-fluorobenzoyl)- (9CI) (CA INDEX NAME)



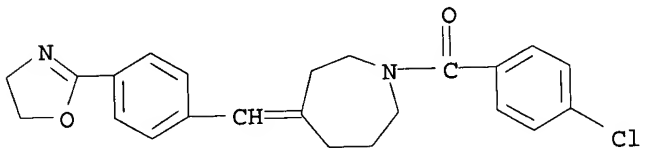
RN 156635-42-6 HCAPLUS
CN Piperidine, 1-[(5-chloro-2-thienyl)carbonyl]-4-[[(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



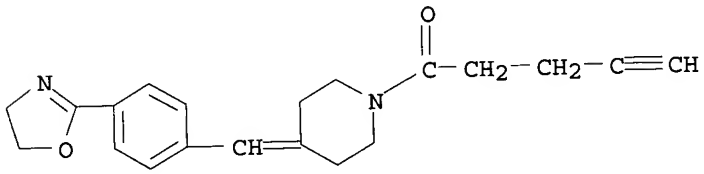
RN 156635-43-7 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(2-naphthalenylacetyl)- (9CI) (CA INDEX NAME)



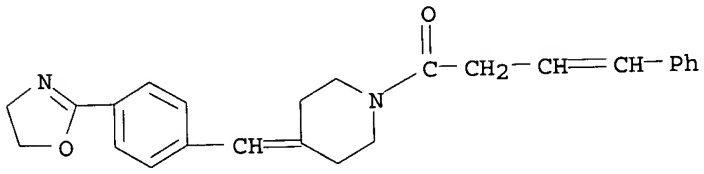
RN 156635-44-8 HCAPLUS
CN 1H-Azepine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]hexahydro- (9CI) (CA INDEX NAME)



RN 156635-45-9 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(1-oxo-4-pentynyl)- (9CI) (CA INDEX NAME)



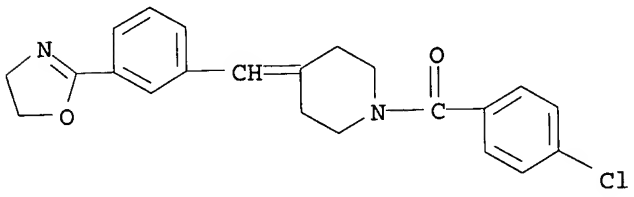
RN 156635-46-0 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(1-oxo-4-phenyl-3-butenyl)- (9CI) (CA INDEX NAME)



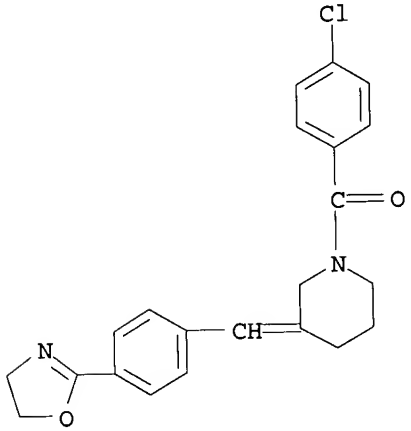
RN 161310-62-9 HCAPLUS

Searched by Thom Larson, STIC, 308-7309

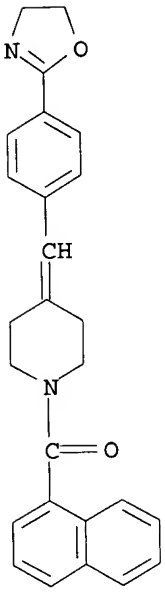
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[3-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



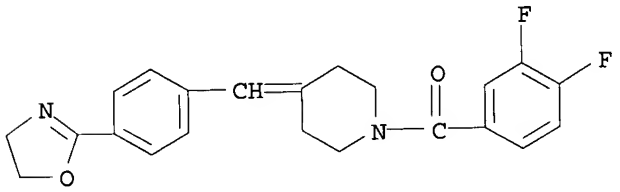
RN 161310-71-0 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-3-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



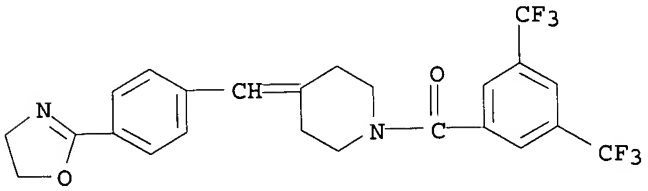
RN 161310-73-2 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(1-naphthalenylcarbonyl)- (9CI) (CA INDEX NAME)



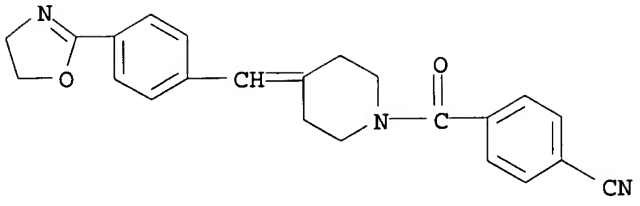
RN 161310-74-3 HCAPLUS
CN Piperidine, 1-(3,4-difluorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



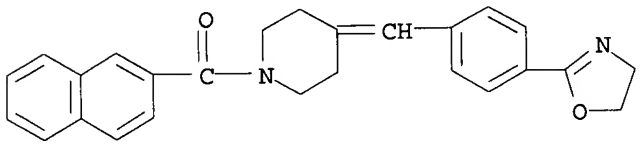
RN 161310-75-4 HCAPLUS
CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



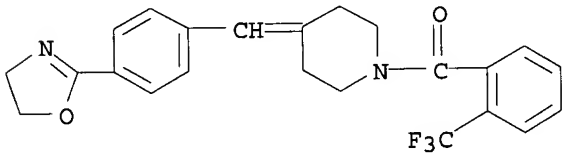
RN 161310-76-5 HCAPLUS
CN Piperidine, 1-(4-cyanobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



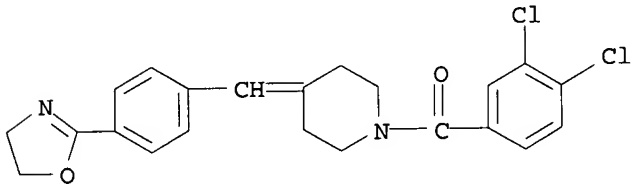
RN 161310-77-6 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(2-naphthalenylcarbonyl)- (9CI) (CA INDEX NAME)



RN 161310-78-7 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-[2-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 161310-79-8 HCAPLUS
CN Piperidine, 1-(3,4-dichlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



RN 161310-80-1 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-[(4-fluoro-1-naphthalenyl)carbonyl]- (9CI) (CA INDEX NAME)

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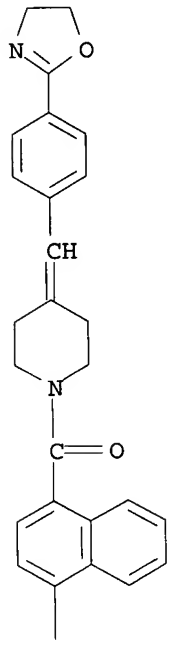

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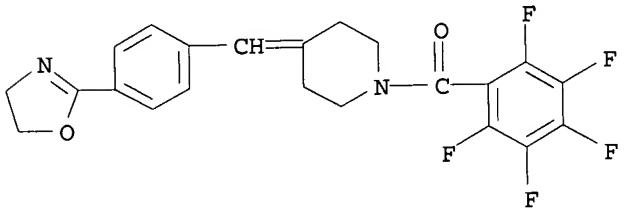
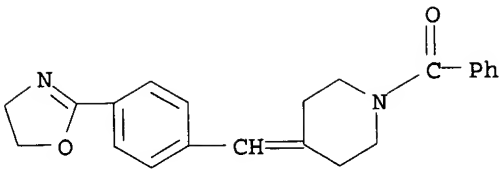
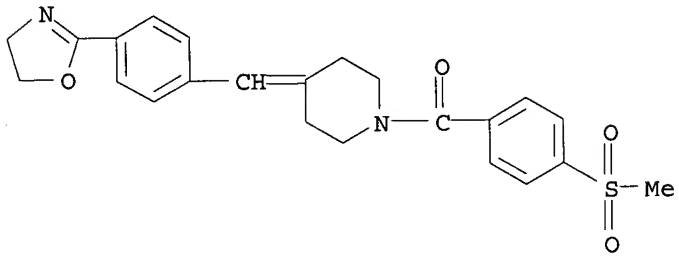
RN 161310-81-2 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(pentafluorobenzoyl)- (9CI) (CA INDEX NAME)



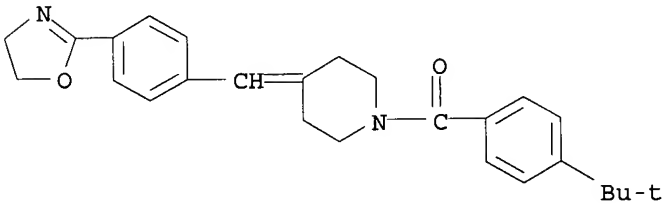
RN 161310-82-3 HCAPLUS
CN Piperidine, 1-benzoyl-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



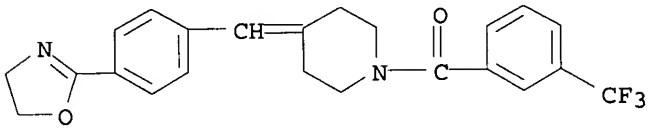
RN 161310-83-4 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-[4-(methylsulfonyl)benzoyl]- (9CI) (CA INDEX NAME)



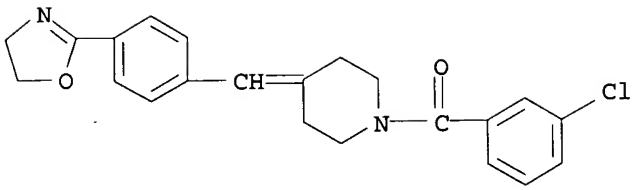
RN 161310-84-5 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-[4-(1,1-dimethylethyl)benzoyl]- (9CI) (CA INDEX NAME)



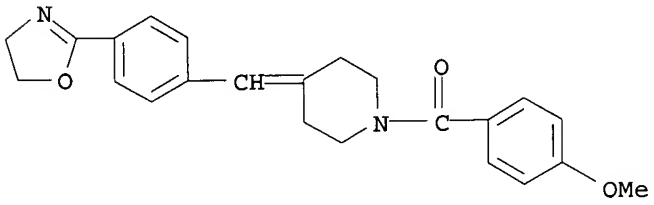
RN 161310-85-6 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-[3-(trifluoromethyl)benzoyl]- (9CI) (CA INDEX NAME)



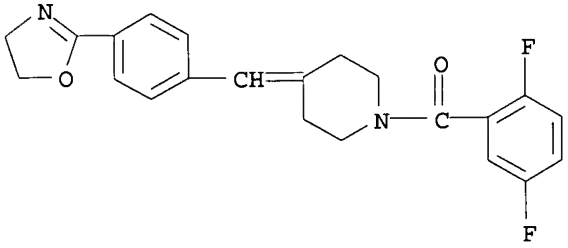
RN 161310-86-7 HCAPLUS
CN Piperidine, 1-(3-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



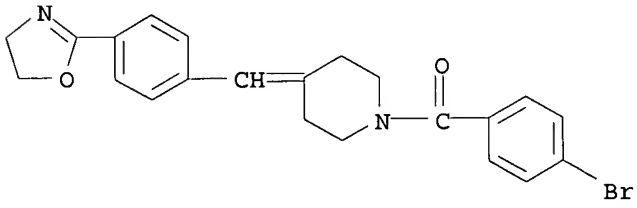
RN 161310-87-8 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)



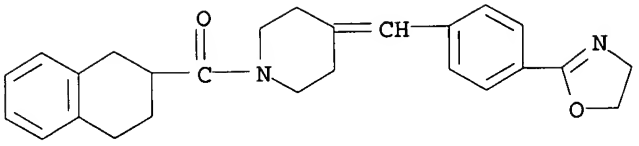
RN 161310-88-9 HCAPLUS
CN Piperidine, 1-(2,5-difluorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



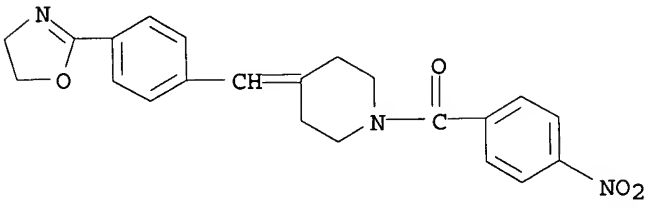
RN 161310-89-0 HCAPLUS
CN Piperidine, 1-(4-bromobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



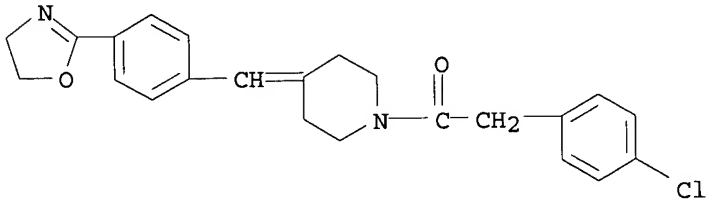
RN 161310-90-3 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]- (9CI) (CA INDEX NAME)



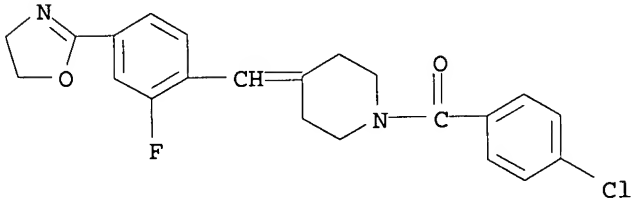
RN 161310-91-4 HCAPLUS
CN Piperidine, 4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(4-nitrobenzoyl)- (9CI) (CA INDEX NAME)



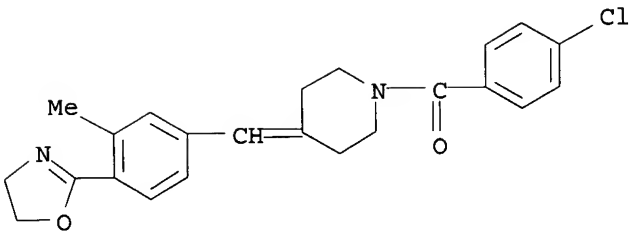
RN 161310-92-5 HCAPLUS
CN Piperidine, 1-[(4-chlorophenyl)acetyl]-4-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)



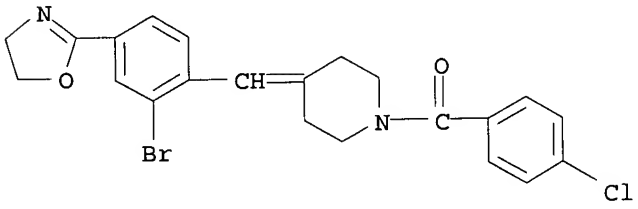
RN 161311-08-6 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)-2-fluorophenyl]methylene]- (9CI) (CA INDEX NAME)



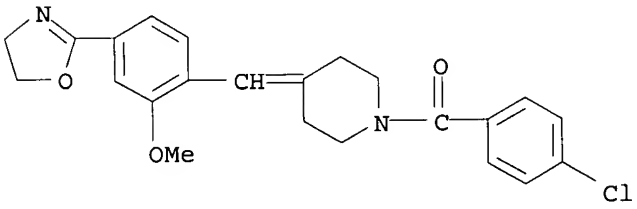
RN 161311-09-7 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)-3-methylphenyl]methylene]- (9CI) (CA INDEX NAME)



RN 161311-10-0 HCAPLUS
CN Piperidine, 4-[[2-bromo-4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]-1-(4-chlorobenzoyl)- (9CI) (CA INDEX NAME)

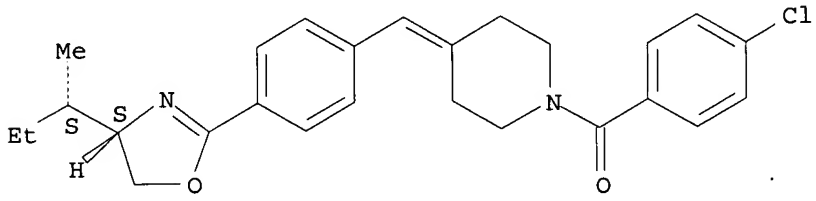


RN 161311-11-1 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-(4,5-dihydro-2-oxazolyl)-2-methoxyphenyl]methylene]- (9CI) (CA INDEX NAME)

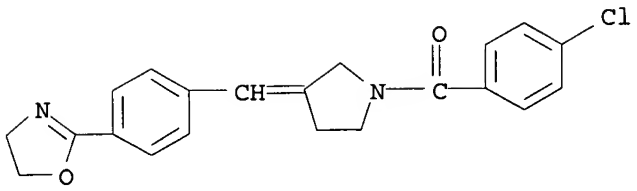


RN 173840-10-3 HCAPLUS
CN Piperidine, 1-(4-chlorobenzoyl)-4-[[4-[4,5-dihydro-4-(1-methylpropyl)-2-oxazolyl]phenyl]methylene]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 173840-11-4 HCAPLUS
CN Pyrrolidine, 1-(4-chlorobenzoyl)-3-[[4-(4,5-dihydro-2-oxazolyl)phenyl]methylene]- (9CI) (CA INDEX NAME)


Cl
O
CH
N
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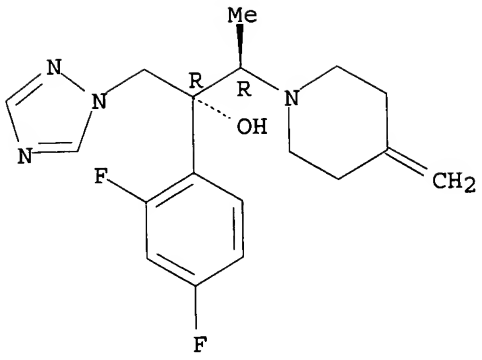
L25 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:908455 HCAPLUS
TITLE: Therapeutic efficacy of topically applied KP-103 against experimental tinea unguium in guinea pigs in comparison with amorolfine and terbinafine
AUTHOR(S): Tatsumi, Yoshiyuki; Yokoo, Mamoru; Senda, Hisato; Kakehi, Kazuaki
CORPORATE SOURCE: Central Research Laboratories, Kaken Pharmaceutical Co., Ltd., Kyoto, 607-8042, Japan
SOURCE: Antimicrobial Agents and Chemotherapy (2002), 46(12), 3797-3801
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The therapeutic efficacy of KP-103, a novel topical triazole, in a guinea pig tinea unguium model was investigated. Exptl. tinea unguium and tinea pedis were produced by inoculation of Trichophyton mentagrophytes SM-110 between the toes of the hind paw of guinea pigs. One percent soln. (0.1 mL) of KP-103, amorolfine, or terbinafine was topically applied to the nails and whole sole of an infected foot once daily for 30 consecutive days, and terbinafine was also orally administered at a daily dose of 40 mg/kg of body wt. for 30 consecutive days, starting on day 60 postinfection. The fungal burdens of nails and plantar skin were assessed using a new method, which makes it possible to recover infecting fungi by removing a carryover of the drug remaining in the treated tissues into the culture medium. Topically applied KP-103 inhibited the development of nail collapse, significantly reduced the fungal burden of the nails, and sterilized the infected plantar skin. On the other hand, topical amorolfine and topical or oral terbinafine were ineffective for tinea unguium, although these drugs eradicated or reduced the fungal burden of plantar skin. The in vitro activities of amorolfine and terbinafine against T. mentagrophytes SM-110 were 8- and 32-fold, resp., decreased by the addn. of 5% keratin to Sabouraud dextrose broth medium. In contrast, the activity of KP-103 was not affected by keratin because its keratin affinity is lower than those of the ref. drugs, suggesting that KP-103 largely exists in the nails as an active form that was not bound to keratin and diffuses in the nail without being trapped by keratin. The effectiveness of KP-103 against tinea unguium is probably due to its favorable pharmacokinetic properties in the nails together with its potent antifungal activity.

IT INDEXING IN PROGRESS
IT **164650-44-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KP-103 against exptl. tinea unguium in guinea pigs in comparison with amorolfine and terbinafine)
RN 164650-44-6 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:639546 HCAPLUS
DOCUMENT NUMBER: 138:198163
TITLE: In vivo fungicidal effect of KP-103 in a guinea pig model of interdigital tinea pedis determined by using a new method for removing the antimycotic carryover effect
AUTHOR(S): Tatsumi, Yoshiyuki; Yokoo, Mamoru; Arika, Tadashi; Yamaguchi, Hideyo
CORPORATE SOURCE: Central Research Laboratories, Kaken Pharmaceutical Co., Ltd., Kyoto, Kyoto, 607-8042, Japan
SOURCE: Microbiology and Immunology (2002), 46(7), 433-439
CODEN: MIIMDV; ISSN: 0385-5600
PUBLISHER: Center for Academic Publications Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We developed a new technique for culture study that successfully recovers fungi from drug-treated skin tissues, in which tissue specimens were homogenized, dialyzed against water, digested with trypsin, and then washed with PBS, to eliminate the drug that remaining in the skin tissue specimens. With this modified culture method, we reevaluated the efficacy of KP-103, neticonazole, and lanoconazole in a guinea pig interdigital tinea pedis model. Guinea pigs with tinea pedis were topically treated with a 1% soln. of KP-103 or a ref. drug once a day for 10 consecutive days. Five days after the last treatment, left and right feet were subjected to culture study by the conventional and modified recovery culture methods, resp. One hundred percent (20/20) of lanoconazole-treated feet were judged as culture-neg. by the conventional culture method, but 85% (17/20) of the feet were shown to be culture-pos. when the modified recovery culture method was used. KP-103 achieved high rates of culture-neg. rates, 95% (19/20) and 85% (17/20), in both conventional and modified culture methods, resp. Furthermore, on day-30 posttreatment, KP-103 sterilized 14 of the 20 infected feet, whereas neticonazole and lanoconazole were not effective even in reducing fungal burden. KP-103 proved to be highly effective in achieving mycol. cure and preventing relapse against tinea pedis presumably because of its good bioavailability in the skin based on its low keratin-affinity, along with its potent antifungal activity.

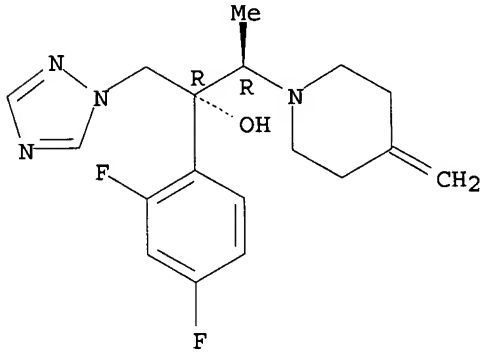
IT **164650-44-6**, KP 103
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(KP 103; KP-103 antifungal effects in a guinea pig model of interdigital tinea pedis)

RN 164650-44-6 HCAPLUS

CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:639545 HCAPLUS

DOCUMENT NUMBER: 138:198162

TITLE: KP-103, a novel triazole derivative, is effective in preventing relapse and successfully treating experimental interdigital tinea pedis and tinea corporis in guinea pigs

AUTHOR(S): Tatsumi, Yoshiyuki; Yokoo, Mamoru; Arika, Tadashi; Yamaguchi, Hideyo

CORPORATE SOURCE: Central Research Laboratories, Kaken Pharmaceutical Co., Ltd., Kyoto, Kyoto, 607-8042, Japan

SOURCE: Microbiology and Immunology (2002), 46(7), 425-432 CODEN: MIIMDV; ISSN: 0385-5600

PUBLISHER: Center for Academic Publications Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The therapeutic efficacy of KP-103, a triazole deriv., for 10 guinea pigs with interdigital tinea pedis or tinea corporis was investigated. Topical KP-103 soln. (0.25 to 1%) was dose-dependently effective in treating both dermatophytoses. A 1% KP-103-treatment rendered all infected skins culture-neg. on day-2 posttreatment. A high neg.-culture rate was obtained with 1% solns. of butenafine and lanoconazole but not with 1% neticonazole soln. The follow up study performed on day-30 and day-9 posttreatment demonstrated that the relapse rates for 1% KP-103-treated animals with tinea pedis and for those with tinea corporis were 20 and 30%, resp., and that these values were the same as those for 1% butenafine-treated animals, but lower than those for 1% lanoconazole-treated animals (55 and 80%, resp.). When a single dose of 1% KP-103 was applied to the back skin 48 h before fungal inoculation, 9 of the 10 animals were protected from the dermatophytosis, suggesting that active KP-103 is retained in skin tissue for at least 48 h after dosing. Moreover, it was suggested that KP-103 retains a high activity in the horny layer because of its lower keratin-affinity. The effectiveness of

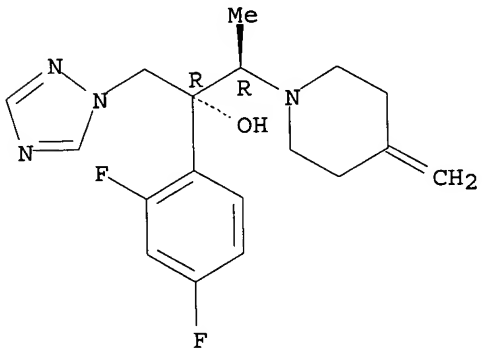
KP-103 against dermatophytoses may be due to the favorable pharmacokinetic properties in the skin tissues, together with its potent antifungal activity.

IT **164650-44-6**, KP 103
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KP 103; antifungal activity of triazole deriv. KP-103)

RN 164650-44-6 HCAPLUS

CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:319310 HCAPLUS
DOCUMENT NUMBER: 135:146847
TITLE: In vitro antifungal activity of KP-103, a novel triazole derivative, and its therapeutic efficacy against experimental plantar tinea pedis and cutaneous candidiasis in guinea pigs
AUTHOR(S): Tatsumi, Yoshiyuki; Yokoo, Mamoru; Arika, Tadashi; Yamaguchi, Hideyo
CORPORATE SOURCE: Central Research Laboratories, Kaken Pharmaceutical Co., Ltd., Kyoto, 607-8042, Japan
SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(5), 1493-1499
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

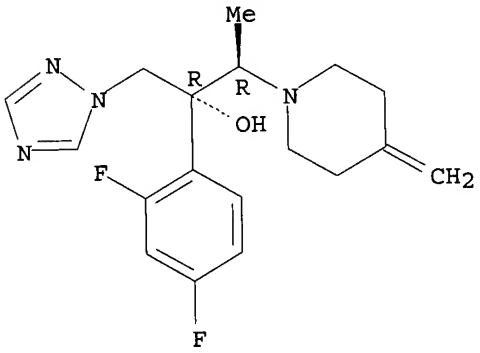
AB The in vitro activity of KP-103, a novel triazole deriv., against pathogenic fungi that cause dermatomycoses and its therapeutic efficacy against plantar tinea pedis and cutaneous candidiasis in guinea pigs were investigated. MICs were detd. by a broth microdilution method with morpholinepropanesulfonic acid-buffered RPMI 1640 medium for Candida species and with Sabouraud dextrose broth for dermatophytes and by an agar diln. method with medium C for Malassezia furfur. KP-103 was the most active of all the drugs tested against Candida albicans (geometric mean [GM] MIC, 0.002 .mu.g/mL), other Candida species including Candida parapsilosis and Candida glabrata (GM MICs, 0.0039 to 0.0442 .mu.g/mL), and M. furfur (GM MIC, 0.025 .mu.g/mL). KP-103 (1% soln.) was highly

effective as a treatment for guinea pigs with cutaneous candidiasis and achieved mycol. eradication in 8 of the 10 infected animals, whereas none of the imidazoles tested (1% solns.) was effective in even reducing the levels of the infecting fungi. KP-103 was as active as clotrimazole and neticonazole but was less active than lanoconazole and butenafine against Trichophyton rubrum (MIC at which 80% of isolates are inhibited [MIC80], 0.125 .mu.g/mL) and Trichophyton mentagrophytes (MIC80, 0.25 .mu.g/mL). However, KP-103 (1% soln.) exerted therapeutic efficacy superior to that of neticonazole and comparable to those of lanoconazole and butenafine, yielding neg. cultures for all samples from guinea pigs with plantar tinea pedis tested. This suggests that KP-103 has better pharmacokinetic properties in skin tissue than the ref. drugs. Because the in vitro activity of KP-103, unlike those of the ref. drugs, against T. mentagrophytes was not affected by hair as a keratinic substance, its excellent therapeutic efficacy seems to be attributable to good retention of its antifungal activity in skin tissue, in addn. to its potency.

IT **164650-44-6**, KP 103
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antifungal activity of KP-103 against exptl. plantar tinea pedis and cutaneous candidiasis in guinea pigs)

RN 164650-44-6 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

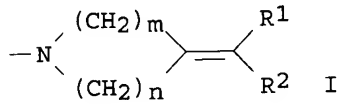
L25 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:78559 HCAPLUS
DOCUMENT NUMBER: 134:125925
TITLE: Method for detecting pathogenic microorganism and antimicrobial agent, method for evaluating the drug effect of antimicrobial agent, and antimicrobial agents
INVENTOR(S): Tatsumi, Yoshiyuki; Yokoo, Mamoru; Nakamura, Kosho; Arika, Tadashi
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

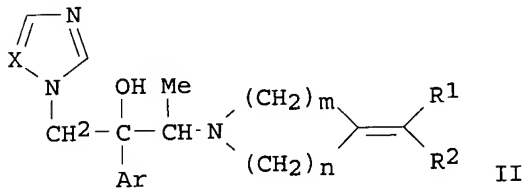
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007643	A1	20010201	WO 2000-JP4617	20000711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1205559	A1	20020515	EP 2000-944390	20000711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-214369 A 19990728
WO 2000-JP4617 W 20000711

OTHER SOURCE(S): MARPAT 134:125925
GI



I



II

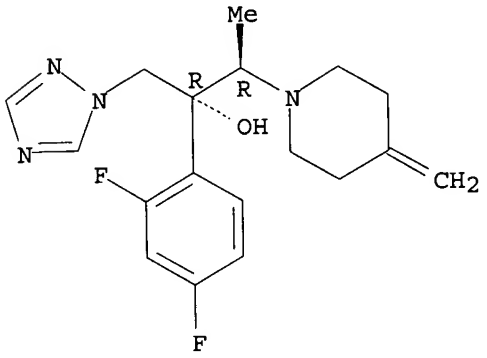
AB A novel method for evaluating the drug effect of an antimicrobial agent (e.g. I and II, with R1, R2 = H, alkyl, halogen, etc.; m = 2 0r 3; n = 1 or 2) which comprises eliminating the antimicrobial agent remaining in a biol. sample, etc. to thereby accurately evaluate the drug effect of the antimicrobial agent without being affected by the remaining antimicrobial agent. Remedies for nail mycosis which can be obtained by the drug effect evaluation method as described above.

IT **164650-44-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KP 103; method for detecting pathogenic microorganism and antimicrobial agent, method for evaluating the drug effect of antimicrobial agent, and antimicrobial agents)

RN 164650-44-6 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-

methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:674935 HCAPLUS
DOCUMENT NUMBER: 132:78507
TITLE: Synthesis and antifungal activities of (2R,3R)-2-aryl-1-azolyl-3-(substituted amino)-2-butanol derivatives as topical antifungal agents
AUTHOR(S): Ogura, Hironobu; Kobayashi, Haruhito; Nagai, Kiyoshi; Nishida, Tokiko; Naito, Takanobu; Tatsumi, Yoshiyuki; Yokoo, Mamoru; Arika, Tadashi
CORPORATE SOURCE: Development Research Laboratories, Kaken Pharmaceutical Co., Ltd., Kyoto, 607-8042, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1999), 47(10), 1417-1425
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

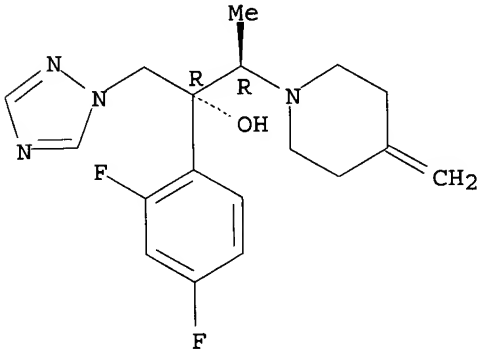
AB 2-Aryl-1-azolyl-3-(substituted amino)-2-butanol derivs. were prepd. by ring-opening reaction of epoxides with excess amine, and their antifungal activities were evaluated as topical agents. Azolyl cyclic amine derivs. with a methylene group showed extremely strong activity with a broad spectrum in vitro. In general, anti-Trichophyton mentagrophytes activities of most of the topical antifungal agents are substantially reduced by addn. of keratin (a major constituent of the keratinized tissue). However, one triazole deriv., (2R,3R)-2-(2,4-difluorophenyl)-3-(4-methylenepiperidinyl)-1-(1H-1,2,4-triazol-1-yl)-2-butanol [(-)-KP-103], showed very little deactivation by addn. of keratin. This biol. characteristic of (2R,3R)-2-(2,4-difluorophenyl)-3-(4-methylenepiperidinyl)-1-(1H-1,2,4-triazol-1-yl)-2-butanol resulted in excellent therapeutic efficacy on dermatophytosis superior to that of the corresponding imidazole deriv.

IT **164650-44-6P 164650-45-7P 164650-46-8P**
164650-49-1P 164650-51-5P 164650-52-6P
164650-53-7P 164650-54-8P 164650-55-9P
164905-19-5P 164905-20-8P 253682-40-5P
253682-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and topical antifungal activity of (aryl)(azolyl)butanol derivs.)

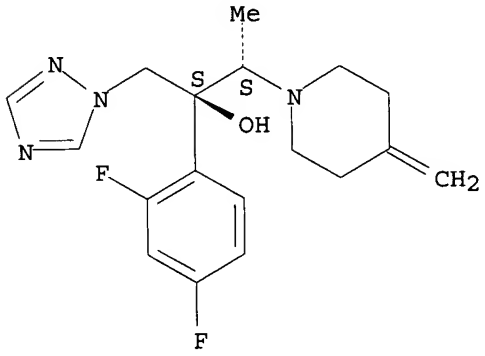
RN 164650-44-6 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



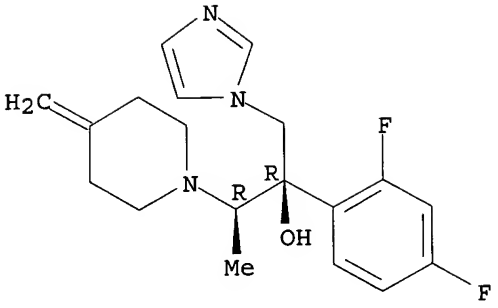
RN 164650-45-7 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.S,.beta.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



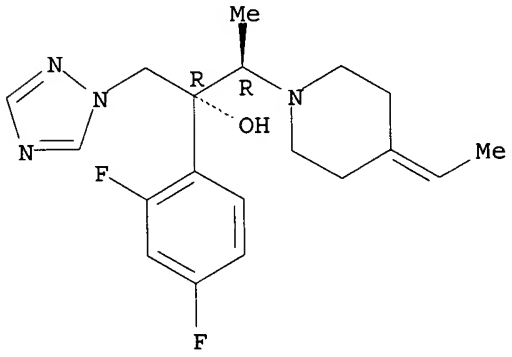
RN 164650-46-8 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.alpha.-(1H-imidazol-1-ylmethyl)-.beta.-methyl-4-methylene-, (.alpha.R,.beta.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



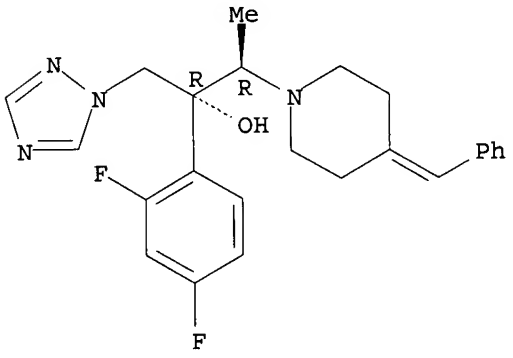
RN 164650-49-1 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-4-ethylidene-.beta.-methyl-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 164650-51-5 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-(phenylmethylene)-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

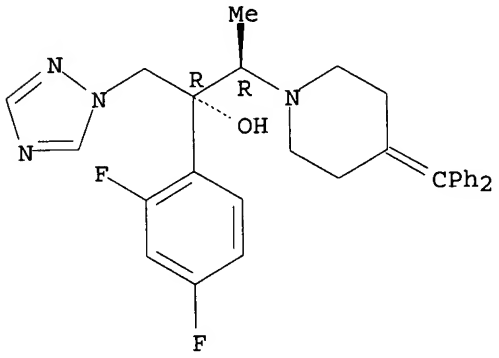
Relative stereochemistry.



RN 164650-52-6 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-4-(diphenylmethylene)-

.beta.-methyl-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 164650-53-7 HCAPLUS
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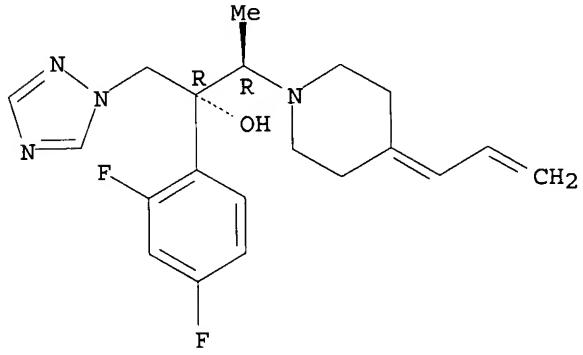
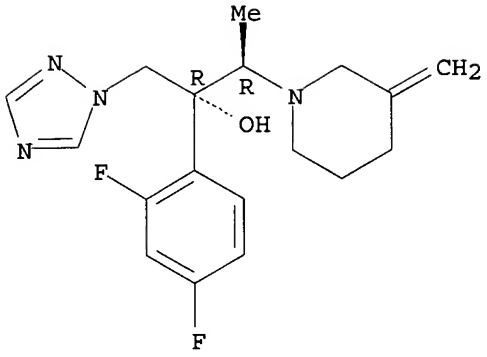
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



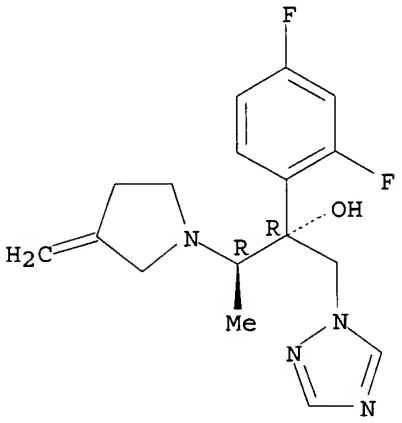
RN 164650-54-8 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-3-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



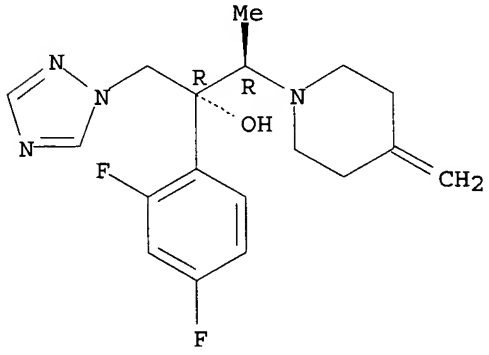
RN 164650-55-9 HCAPLUS
CN 1H-1,2,4-Triazole-1-ethanol, .alpha.-(2,4-difluorophenyl)-.alpha.-[(1R)-1-(3-methylene-1-pyrrolidinyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



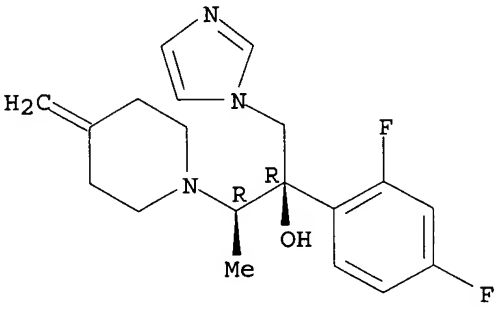
RN 164905-19-5 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



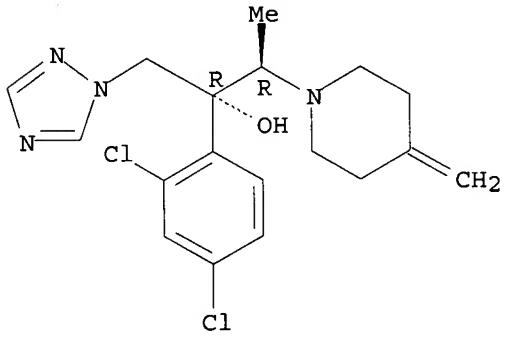
RN 164905-20-8 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.alpha.-(1H-imidazol-1-ylmethyl)-.beta.-methyl-4-methylene-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



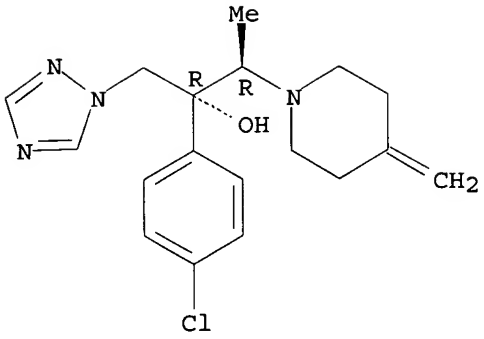
RN 253682-40-5 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-dichlorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 253682-41-6 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(4-chlorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



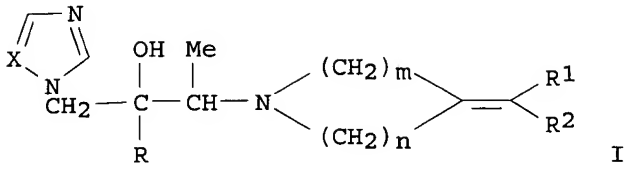
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:662344 HCAPLUS
DOCUMENT NUMBER: 123:55887
TITLE: Preparation of azolylamine derivatives as fungicides
INVENTOR(S): Naito, Takanobu; Kobayashi, Haruhito; Ogura, Hironobu; Nagai, Kiyoshi; Nishida, Tokiko; Arika, Tadashi; Yokoo, Mamoru; Nakahashi, Satoko
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9426734	A1	19941124	WO 1994-JP737	19940502
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2162463	AA	19941124	CA 1994-2162463	19940502
AU 9466896	A1	19941212	AU 1994-66896	19940502
AU 685116	B2	19980115		
EP 698606	A1	19960228	EP 1994-914585	19940502
EP 698606	B1	19971217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1122598	A	19960515	CN 1994-192041	19940502
CN 1046278	B	19991110		
JP 09059272	A2	19970304	JP 1995-341201	19940502
HU 75031	A2	19970328	HU 1995-3217	19940502
AT 161257	E	19980115	AT 1994-914585	19940502
ES 2110749	T3	19980216	ES 1994-914585	19940502
US 5620994	A	19970415	US 1995-532800	19951107
US 5716969	A	19980210	US 1997-781204	19970109
US 5962476	A	19991005	US 1997-966527	19971110

PRIORITY APPLN. INFO.:
JP 1993-132931 19930510
JP 1994-525228 19940502
WO 1994-JP737 19940502
US 1995-532800 19951107

US 1997-781204 19970109
OTHER SOURCE(S): MARPAT 123:55887
GI



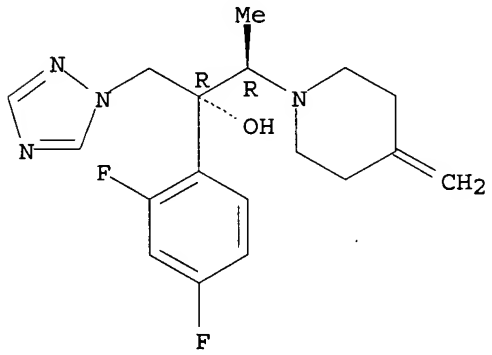
I

AB Title compds. I [R = (un)substituted Ph; R1, R2 = H, alkyl, (un)substituted aryl, alkenyl, alkynyl, aralkyl; m = 2, 3; n = 1, 2; X = N, CH] and their acid-addn.salts, useful as fungicides, were prepd. Thus, 4-methylenepiperidine hydrochloride was treated with aq. KOH and the free base was refluxed with (2R,3S)-2-(2,4-difluorophenyl)-3-methyl-2-[(1H-1,2,4-triazol-1-yl)methyl]oxirane in aq. EtOH for 24 h to give 54.0% (2R,3S)-2-(2,4-difluorophenyl)-3-(4-methylenepiperidin-1-yl)-1-(1H-1,2,4-triazol-1-yl)butan-2-ol (II). II had a min. inhibitory concn. of <0.025 .mu.g/mL against Candida albicans ATCC 10259. Formulations contg. I were given.

IT **164650-44-6P 164650-45-7P 164650-46-8P**
164650-47-9P 164650-48-0P 164650-49-1P
164650-51-5P 164650-53-7P 164650-54-8P
164650-55-9P 164905-19-5P 164905-20-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azolylamine derivs. as fungicides)

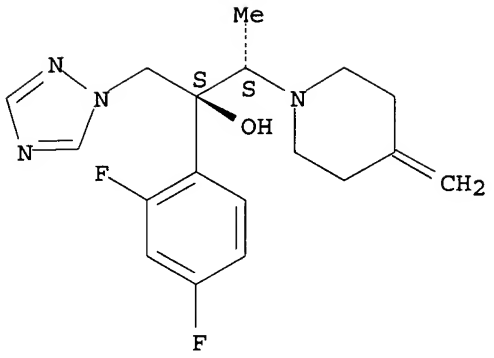
RN 164650-44-6 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



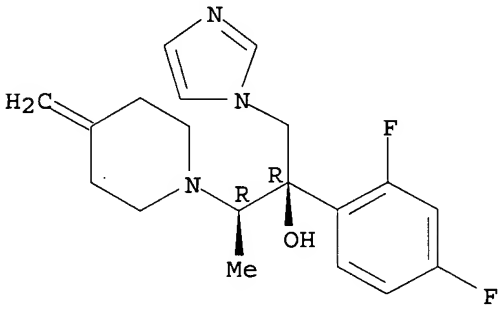
RN 164650-45-7 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.S,.beta.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



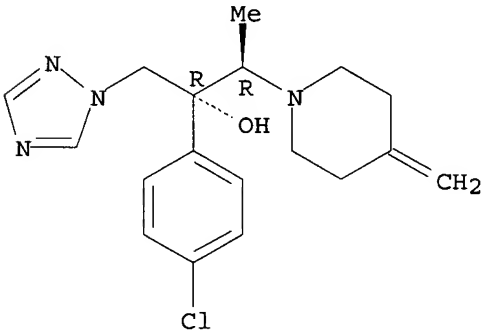
RN 164650-46-8 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.alpha.-(1H-imidazol-1-ylmethyl)-.beta.-methyl-4-methylene-, (.alpha.R,.beta.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 164650-47-9 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(4-chlorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

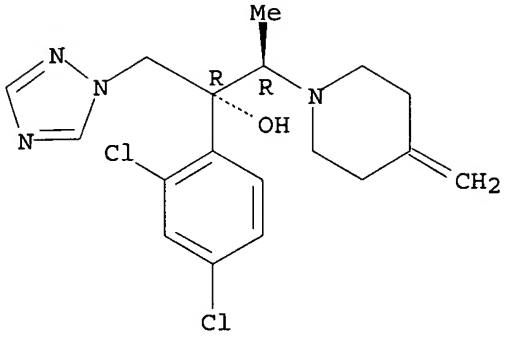
Absolute stereochemistry.



RN 164650-48-0 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-dichlorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, [R-(R*,R*)]- (9CI) (CA

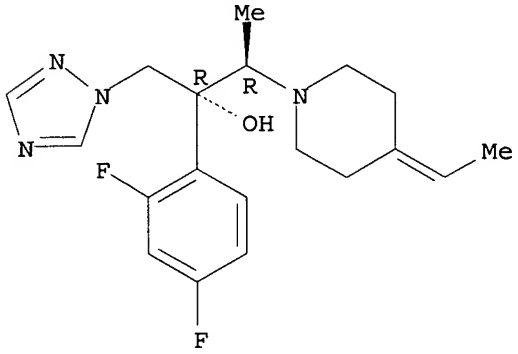
INDEX NAME)

Absolute stereochemistry.



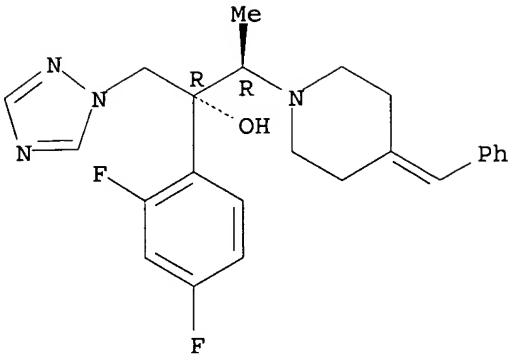
RN 164650-49-1 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-4-ethylidene-.beta.-methyl-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



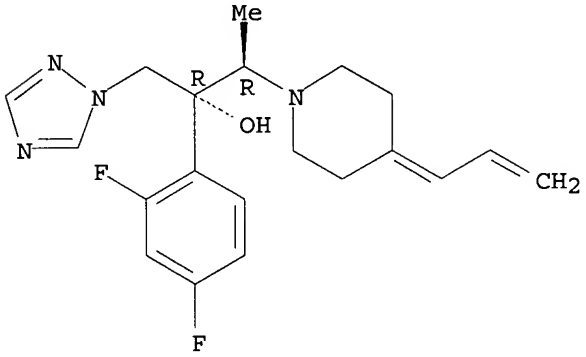
RN 164650-51-5 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-(phenylmethylene)-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



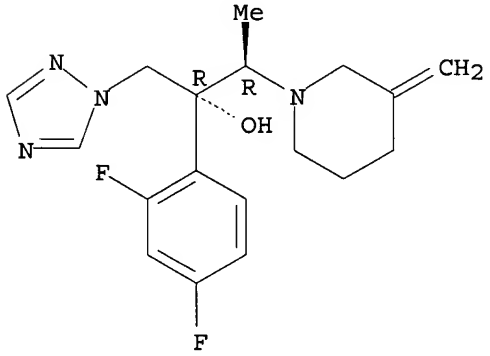
RN 164650-53-7 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-(2-propenylidene)-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



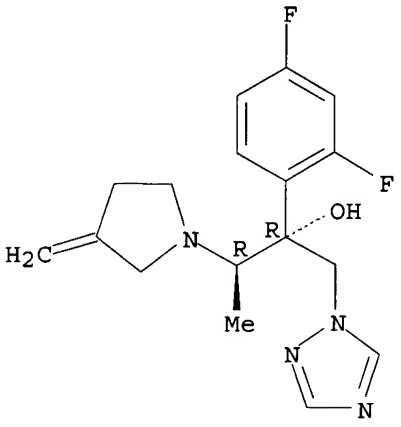
RN 164650-54-8 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-3-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



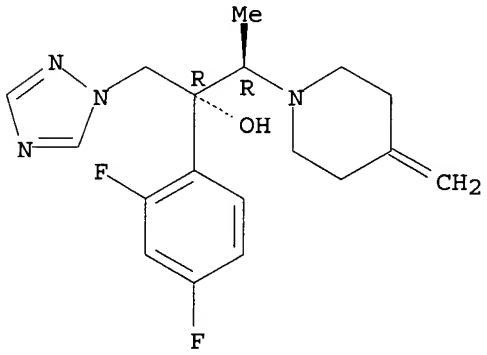
RN 164650-55-9 HCAPLUS
CN 1H-1,2,4-Triazole-1-ethanol, .alpha.-(2,4-difluorophenyl)-.alpha.-[(1R)-1-(3-methylene-1-pyrrolidinyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



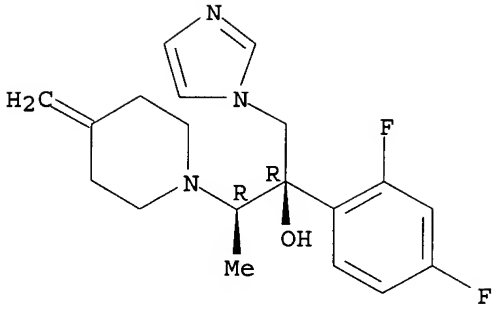
RN 164905-19-5 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-4-methylene-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 164905-20-8 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.alpha.-(1H-imidazol-1-ylmethyl)-.beta.-methyl-4-methylene-, (.alpha.R,.beta.R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

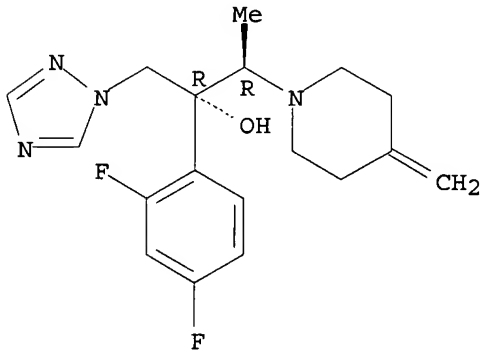


IT **164650-61-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azolylamine derivs. as fungicides)
RN 164650-61-7 HCAPLUS
CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-.beta.-methyl-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-4-methylene-, [R-(R*,R*)]-, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

CM 1

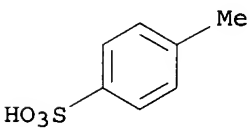
CRN 164650-44-6
CMF C18 H22 F2 N4 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



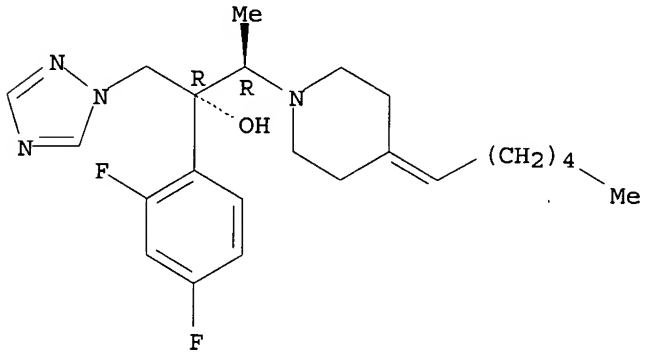
IT **164650-50-4P 164650-52-6P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azolylamine derivs. as fungicides)

RN 164650-50-4 HCAPLUS

CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-4-hexylidene-.beta.-methyl-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164650-52-6 HCAPLUS

CN 1-Piperidineethanol, .alpha.-(2,4-difluorophenyl)-4-(diphenylmethylene)-.beta.-methyl-.alpha.-(1H-1,2,4-triazol-1-ylmethyl)-, (.alpha.R,.beta.R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).